REMARKS

Applicants gratefully acknowledge the indication on the Summary page of the Office Action that Claims 24 and 26 are directed to allowable subject matter (subject only to an objection). Applicants note that Claim 25, although not explicitly identified as allowable, is not subject to a substantive rejection or objection. Applicants therefore assume that Claim 25 should have been identified as allowable subject matter (with "24 and 26" on the Summary page perhaps having been intended to read "24 to 26") and, as discussed with the present Examiner by phone on August 9, 2007, respond accordingly. (If this assumption is incorrect, Applicants request clarification.) Applicants have accordingly canceled Claims 24-26 and have amended Claim 19 to incorporate limitations from groups Z^2, Z^3, and Z^4 of these canceled claims and to delete embodiments in which Z would be Z^1 (with appropriate correction of minor typographical errors having no substantive effect on the scope of the claims). Applicants note with respect to groups Z^3 and Z^4 that original Claim 19 defined the possible substituents for the cycloalkyl moiety to include halogen and C_1-C_4-alkyl groups, whereas amended Claim 19 further defines the possible substituents also to include C_1-C_4-haloalkyl (as specified in canceled Claims 25 and 26). Although original Claim 19 could have been more clearly written to indicate halo substitution of alkyl substituents, it is clear from the specification at page 16, lines 9-14 and 20-25, that haloalkyl substitution was contemplated. Applicants therefore respectfully submit that Claim 19 as amended is fully supported in the specification.

As discussed below, Applicants have canceled Claim 23, which was directed to embodiments in which Z is Z^1. Applicants have also amended Claim 27, which was withdrawn as being directed to non-elected embodiments, for consistency with amended Claim 19 for the purpose of rejoinder (if granted). Applicants have also canceled Claims 30 and 31. Applicants respectfully submit that all claims are fully supported in the specification.

Restriction Requirement under 35 U.S.C. 121

The Office Action requires restriction to one of the following groups:

Group I: Claims 19-26, 28, and 31-33, drawn to compounds of formulas (I), (IV), (VI), and (VIII)

Group II: Claims 27 and 30, drawn to methods of preparing compounds and compositions of formula (I)

Group III: Claim 34, drawn to the intermediate compound of formula (II)

Group IV: Claim 35, drawn to the intermediate compound of formula (II)

Group V: Claim 29, drawn to a method of using compounds of formula (I)

Applicants acknowledge their election by phone on March 29, 2007, of Group I with traverse with respect to Groups II and V but without traverse with respect to Groups III and IV. The Office Action indicates that Claims 27, 29, 30, 34, and 35 have been withdrawn from consideration. Applicants have accordingly canceled Claims 34 and 35, as well as Claim 30 for other reasons discussed below. Applicants, however, request rejoinder of withdrawn Claim 27 (as amended for consistency with amended Claim 19) and of withdrawn Claim 29. More particularly, Applicants submit that unity of invention is found for the compounds of Group I, the preparation of such compounds according to Claim 27 of Group II, and the use of such compounds to control microorganisms according to Claim 29 of Group V.

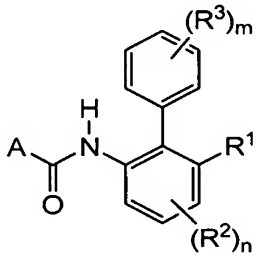
Rejections under 35 U.S.C. 103

A. JP 2001-302605

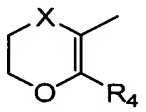
Claims 19-23 and 28 stand rejected under 35 U.S.C. 103(a) as being unpatentable over JP 2001-302605. Applicants respectfully traverse.

Applicants note at the outset that they have obtained an English abstract and a machine translation of JP 2001-302605 from the Japanese Patent Office web site. Although not an entirely reliable translation, Applicants provide a copy of the translation along with the English abstract for the convenience of the Examiner.

JP 2001-302605 discloses fungicidal biphenyl-containing heterocycles having the formula



in which group **A** can be, inter alia, a group A^{10} of the formula



(where group **X** can be methylene, S, SO, or SO_2 and $\mathbf{R^4}$ can be halogen, alkyl, or haloalkyl); $\mathbf{R^1}$ can be any of a large number of substituents; and $\mathbf{(R^2)_n}$ can optionally be up to 5 fluorine atoms; and $\mathbf{(R^3)_m}$ can optionally be up to three substituents of varying kinds. See Office Action at page 7, the two abstracts, and the Japanese patent and translation. As implicitly recognized in the Office Action at page 6 and in view of the allowability of Claims 24-26 but not Claim 23, Applicants submit that JP 2001-302605 would not suggest compounds of Applicants' invention in which group Z is not a phenyl group. In view of their amendment of Claim 19 to exclude compounds in which Z can be Z^1 and their cancellation of Claim 23, Applicants submit that they have traversed this ground of rejection.

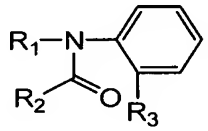
Applicants therefore respectfully submit that their invention as claimed is not rendered obvious by JP 2001-302605.

B. JP 08-176112

Claims 19-23 and 28 stand rejected under 35 U.S.C. 103(a) as being unpatentable over JP 08-176112. Applicants respectfully traverse.

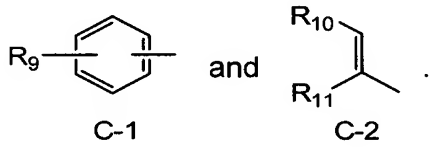
Applicants note at the outset that they have obtained from the Japanese Patent Office web site an English abstract that is more complete than that provided with the Office Action and a partial machine translation of JP 08-176112 (limited in completeness, despite numerous attempts, because of timeout restrictions from the web site such that later pages of the "Detailed Description" are not included). Although incomplete and not an entirely reliable translation in any case, Applicants provide a copy of the machine translation along with the English abstract for the convenience of the Examiner.

JP 08-176112 discloses fungicidal N,N-disubstituted aniline derivatives having the formula



in which $\mathbf{R_1}$ can be any of various acyl, carbamoyl, alkoxyalkyl, and alkyl groups represented in the patent by the general formulas A-1 through A-4; $\mathbf{R_2}$ can be substituted phenyl or heteroaryl groups represented in the patent by the general formulas B-1 through B-8; and $\mathbf{R_3}$ can be substituted phenyl, heteroaryl, or non-cyclic groups represented in the patent by the general formulas C-1 through C-9. See

Office Action at page 9, the two abstracts, and the Japanese patent and translation. Of particular interest are the groups having formulas C-1 (mentioned in the Office Action) and C-2 (not mentioned in the Office Action) having the following respective formulas:



C-1 C-2

In view of their amendment of Claim 19 to exclude compounds in which Z can be Z^1 (i.e., a phenyl group), as well as their cancellation of Claim 23, Applicants submit that for reasons similar to those discussed with respect to JP 2001-302605, their claimed compounds are patentably distinct from embodiments of JP 08-176112 in which R_3 is a phenyl group C-1 (or any of the heteroaryl groups C-3 through C-8).

Applicants also submit that compounds of the reference in which R_3 is an alkenyl group C-2 (or an oxime group C-9, the only other disclosed non-cyclic group) would not lead those skilled in the art to embodiments of their invention in which Z is an alkyl group (i.e., Z^3) or an alkenyl or alkynyl group (i.e., Z^4). First, JP 08-176112 does not even remotely suggest any hydrocarbon group other than the specific type of alkenyl group within the meaning of C-2 and thus would not suggest alkyl groups (as defined for Applicants' Z^3) or alkynyl groups (as defined for Applicants' Z^4). Second, the only alkenyl groups disclosed in the reference are unsubstituted in the sense that only hydrogen atoms or alkyl groups can be attached to the alkenyl C-2 residue, whereas in Applicants' claimed invention, the alkenyl groups must be substituted by halogen or cycloalkyl substituents. This is, of course, consistent with the stated allowability of the subject matter of Claim 26.

Applicants therefore respectfully submit that their invention as claimed is not rendered obvious by JP 08-176112.

C. Hahn et al Article

Claim 30 [*sic*, Claim 31] stands rejected under 35 U.S.C. 103(a) as being unpatentable over Hahn et al, "Synthesis of Trifluoromethylated Dihydro-1,4-oxathiin-3-carboxanilides through polymer-bound activated ester" in *Heterocycles*, 48 (11), 2253-2261 (1998). In view of statements in the Office Action Summary and further in view of the reference the Office Action at page 11 to the compound of formula (IV),

Applicants assume that the rejection is intended to apply to Claim 31 and respond accordingly. If this assumption is incorrect, Applicants request clarification. In any case, Applicants have canceled both Claims 30 and 31 and respectfully submit that they have traversed the rejection.

In view of the preceding amendments and remarks, allowance of the claims is respectfully requested.

Respectfully submitted,

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q:patents/prosecution docuements/cs8582/cs8582 amendment

PATENT ABSTRACTS OF JAPAN

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(21)Application number : 2000-119399

(22)Date of filing : 20.04.2000

(71)Applicant : SUMITOMO CHEM CO LTD

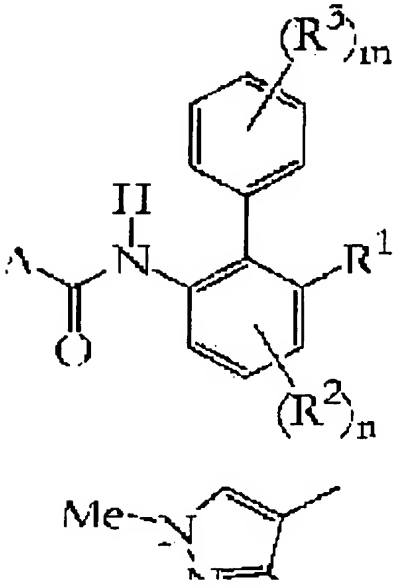
(72)Inventor : SAKAGUCHI YASUSHI

(54) BIPHENYL COMPOUND AND ITS USE

(57)Abstract:

PROBLEM TO BE SOLVED: To obtain a compound having an excellent plant pest control effect.

SOLUTION: This biphenyl compound is expressed by general formula [wherein R1 expresses a 1-10C alkyl, a-10C haloalkyl; n expresses 0-3 integer; R2 expresses fluorine; m expresses 0-5 integer; R3 is the same or different and expresses a halogen, a 1-10C alkyl; A expresses a group expressed by the following A1 {wherein R4 expresses a halogen or the like}].



LEGAL STATUS

[Date of request for examination]

[Date of sending the examiner's decision of rejection]

[Kind of final disposal of application other than the examiner's decision of rejection or application converted registration]

[Date of final disposal for application]

[Patent number]

[Date of registration]

[Number of appeal against examiner's decision of rejection]

[Date of requesting appeal against examiner's decision of rejection]

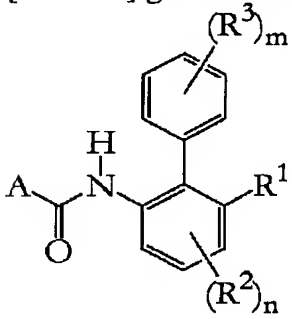
[Date of extinction of right]

* NOTICES *

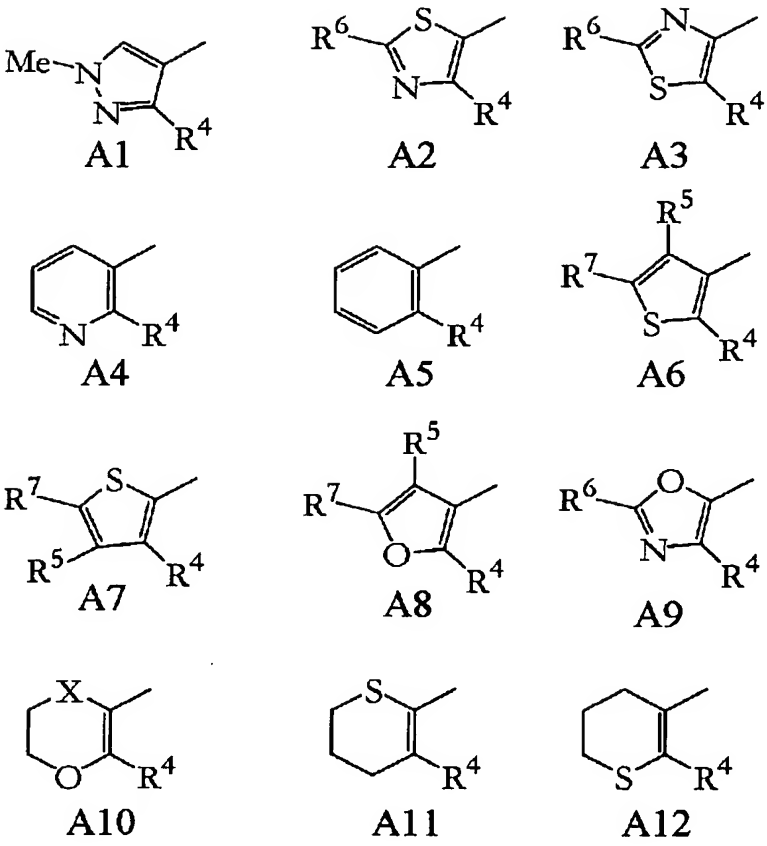
1.This document has been translated by computer. So the translation may not reflect the original precisely.
2.**** shows the word which can not be translated.
3.In the drawings, any words are not translated.

CLAIMS

[Claim(s)]
[Claim 1] general formula -izing 1 -- [Formula 1]



R1 among [type C1 - C10 alkyl group, C1 - C10 halo alkyl group, C2 - C10 alkenyl radical, C2 - C10 alkynyl group, C3 - C10 cycloalkyl radical, C5 - C10 cyclo alkenyl radical, C1 - C10 alkoxy group, C1 - C10 haloalkoxy radical, C1 - C10 alkylthio group, C1 - C10 halo alkylthio group, or a chlorine atom is expressed. n expresses the integer of 0-3, R2 expresses a fluorine atom, and m expresses the integer of 0-5. In that R3 is the same or difference, respectively A halogen atom, C1 - C10 alkyl group, C1 - C10 halo alkyl group, C1 the C10 alkoxyalkyl group C1 - C10 alkoxy group, C1 - C10 haloalkoxy radical, C1 - C10 alkylthio group, C1 - C10 halo alkylthio group, C2 - C10 alkenyl radical, C2 - C10 alkynyl group, C3 - C10 cycloalkyl radical, C5 - C10 cyclo alkenyl radical, C2 - a C6 alkoxy carbonyl group, By two R3 which expresses C3 - C30 trialkylsilyl group, or adjoins each other when m is the integer of 2-5, C3 - C5 alkylene group, C3 - C5 halo alkylene group, C2 - C4 alkyleneoxy radical, C2 - C4 halo alkyleneoxy radical, C1 - C3 alkylene dioxy radical, or C1 - C3 halo alkylene dioxy radical may be



expressed. A is following A1 to A12.

one of the radicals come out of and shown -- {-- here -- R4 -- a halogen atom, and C1-C -- 4 alkyl group C1 - C4 halo alkyl group, or a cyano group is expressed. R5 A hydrogen atom, C1 - C4 alkyl group, or C1 - C4 halo alkyl group is expressed. R6 A hydrogen atom, A halogen atom, C1 - C4 alkyl group, C1 - C4 halo alkyl group, the amino group, or a cyano group is expressed, R7 expresses a hydrogen atom, C1 - C4 alkyl group, or C1 - C4 halo alkyl group, and X expresses a sulfur atom, SO radical, two SOs, or two CH(s). } is expressed.] The biphenyl compound come out of and shown.

[Claim 2] The above-mentioned general formula Biphenyl compound according to claim 1 whose n is 0 in-izing 1.

[Claim 3] The above-mentioned general formula Biphenyl compound according to claim 1 or 2 which are one A is indicated to be by A1, A2, or A4 in-izing 1 of radicals.

[Claim 4] The above-mentioned general formula Biphenyl compound according to claim 1 or 2 which are one A is indicated to be by A1 or A2 in-izing 1 of radicals.

[Claim 5] The above-mentioned general formula Biphenyl compound according to claim 1 to 4 whose R3 is a halogen atom, C1 - C10 alkyl group, C1 - C10 halo alkyl group, C1 - C10 alkoxy group, C1 - C10 haloalkoxy radical, C1 - C10 alkylthio group, or C1 - C10 halo alkylthio group respectively in the same or difference in-izing 1.

[Claim 6] The above-mentioned general formula Biphenyl compound according to claim 1 to 5 whose R1 is C1 - C10 alkyl group, C1 - C10 halo alkyl group, C1 - C10 alkoxy group, C1 - C10 haloalkoxy radical, or a chlorine atom in-izing 1.

[Claim 7] The above-mentioned general formula Biphenyl compound according to claim 1 to 5 whose R1 is C1 - C10 alkyl group, C1 - C10 alkoxy group, or a chlorine atom in-izing 1.

[Claim 8] The above-mentioned general formula Biphenyl compound according to claim 1 to 5 whose R1 is a methyl group, a methoxy group, or a chlorine atom in-izing 1.

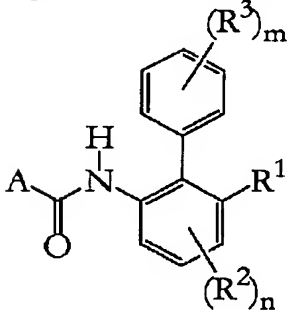
[Claim 9] The germicide for plantation arts characterized by containing a biphenyl compound according to claim 1 to 8 as an active principle.

[Translation done.]

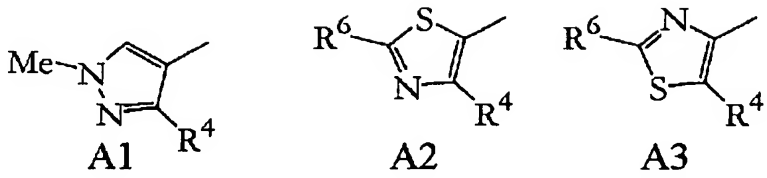
* NOTICES *

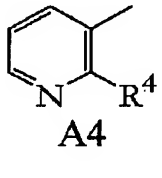
DETAILED DESCRIPTION

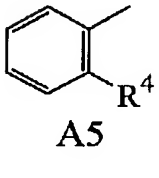
[Detailed Description of the Invention]
[0001]
[Field of the Invention] This invention relates to a biphenyl compound and its application.
[0002]
[Description of the Prior Art] Although it is indicated by the international patent application disclosure description WO 97/No. 08148 that a biphenyl compound of a certain kind is effective in harmful fungus prevention, it is hard to say that this compound is not necessarily enough in respect of plant disease prevention validity.
[0003]
[Problem(s) to be Solved by the Invention] This invention makes it a technical problem to offer the compound which has the outstanding plant disease prevention validity.
[0004]
[Means for Solving the Problem] this invention persons are the after-mentioned general formulas, as a result of inquiring wholeheartedly. It resulted in a header and this invention having the plant disease prevention validity that the biphenyl compound shown by-izing 2 was excellent. namely, this invention -- general formula -izing 2 -- [Formula 2]

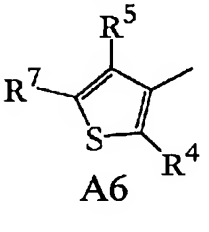


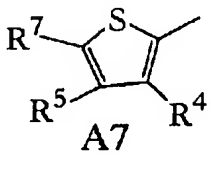
R1 among [type C1 - C10 alkyl group, C1 - C10 halo alkyl group, C2 - C10 alkenyl radical, C2 - C10 alkynyl group, C3 - C10 cycloalkyl radical, C5 - C10 cyclo alkenyl radical, C1 - C10 alkoxy group, C1 - C10 haloalkoxy radical, C1 - C10 alkylthio group, C1 - C10 halo alkylthio group, or a chlorine atom is expressed. n expresses the integer of 0-3, R2 expresses a fluorine atom, and m expresses the integer of 0-5. In that R3 is the same or difference, respectively A halogen atom, C1 - C10 alkyl group, C1 - C10 halo alkyl group, C1 the C10 alkoxyalkyl group C1 - C10 alkoxy group, C1 - C10 haloalkoxy radical, C1 - C10 alkylthio group, C1 - C10 halo alkylthio group, C2 - C10 alkenyl radical, C2 - C10 alkynyl group, C3 - C10 cycloalkyl radical, C5 - C10 cyclo alkenyl radical, C2 - a C6 alkoxy carbonyl group, By two R3 which expresses C3 - C30 trialkylsilyl group, or adjoins each other when m is the integer of 2-5, C3 - C5 alkylene group, C3 - C5 halo alkylene group, C2 - C4 alkyleneoxy radical, C2 - C4 halo alkyleneoxy radical, C1 - C3 alkylene dioxy radical, or C1 - C3 halo alkylene dioxy radical may be

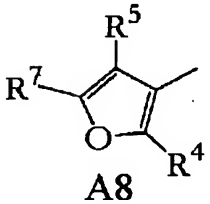


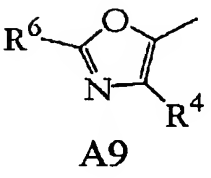


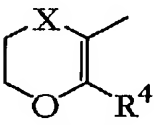


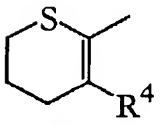


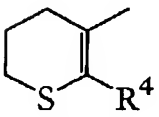












expressed. A is following A1 to A12.

one of the radicals come out of and shown -- {-- here -- R4 -- a halogen atom, and C1-C -- 4 alkyl group C1 - C4 halo alkyl group, or a cyano group is expressed. R5 A hydrogen atom, C1 - C4 alkyl group, or C1 - C4 halo alkyl group is expressed. R6 A hydrogen atom, A halogen atom, C1 - C4 alkyl group, C1 - C4 halo alkyl group, the amino group, or a cyano group is expressed, R7 expresses a hydrogen atom, C1 - C4 alkyl group, or C1 - C4 halo alkyl group, and X expresses a sulfur atom, SO radical, two SOs, or two CH(s). } is expressed.] The germicide for plantation arts which comes out and contains the biphenyl compound (it is hereafter described as this invention compound.) and it which are shown as an active principle is offered.

[0005]

[Embodiment of the Invention] In this invention, as C1 - C10 alkyl group which are shown by R1 For example, a methyl group, an ethyl group, a propyl group, an isopropyl group, butyl, As C1 - C10 halo alkyl group which isobutyl radical, 1-methylpropyl radical, 1, and 1-dimethylethyl radical etc. is raised, and are shown by R1 For example, a trifluoromethyl radical, difluoromethyl group, a fluoro methyl group, The Tori Krol methyl group, Krol difluoromethyl group, 2 and 2, 2-trifluoroethyl radical, As C2 - C10 alkenyl radical which C1 which fluorine atoms, such as 1, 1, 2, and 2-tetrafluoro ethyl group, permuted - C4 alkyl group, etc. are raised, and are shown by R1 for example, as C2 - C10 alkynyl group which a vinyl group, an allyl group, a 1-methyl-2-propenyl radical, etc. are raised, and are shown by R1 for example, as C3 - C10 cycloalkyl radical which C2 - C4 alkynyl groups, such as an ethynyl group, a propargyl radical, and 1-methyl-2-propynyl group, are raised, and are shown by R1 for example, as C5 - C10 cyclo alkenyl radical which a cyclo propyl group, a cyclopentylic group, a cyclohexyl radical, etc. are raised, and are shown by R1 for example, as C1 which a cyclo pentenyl radical, a cyclohexenyl group, etc. are raised and is shown by R1 - C10 alkoxy group For example, a methoxy group, an ethoxy radical, a propyloxy radical, an isopropyloxy radical, As C1 - C10 haloalkoxy radical which butyloxy radical, isobutyloxy radical, 1-methylpropyl oxy-radical, 1, and 1-dimethylethoxy radical etc. is raised, and are shown by R1 For example, a trifluoro methoxy group, a difluoro methoxy group, a fluoro

methoxy group, As C1 which C1 which fluorine atoms, such as 2, 2, and 2-trifluoroethoxy radical, permuted - C4 alkoxy group, etc. are raised, and is shown by R1 - C10 alkylthio group For example, a methylthio radical, an ethyl thio radical, a propyl thio radical, an isopropyl thio radical, As C1, which butyl thio radical, isobutyl radical, 1-methylpropyl thio radical, 1, and 1-dimethylethyl thio radical etc. is raised, and is shown by R3 - a C10 halo alkylthio group For example, C1 permuted by fluorine atoms, such as a trifluoro methylthio radical, a difluoro methylthio radical, a fluoro methylthio radical, 2 and 2, and 2-trifluoroethyl thio radical, - C4 alkylthio group, etc. are raised, and it is [0006]. As C1 - C10 alkyl group which the halogen atom shown by R3 means a chlorine atom, a bromine atom, a fluorine atom, or iodine atom, and are shown by R3 For example, a methyl group, an ethyl group, a propyl group, an isopropyl group, butyl, An isobutyl radical, 1-methylpropyl radical, a pentyl radical, 1-methylbutyl radical, 1-ethyl butyl, 2-methylbutyl radical, 3-methylbutyl radical, 2, and 2-dimethyl propyl group, 1, 2-dimethyl propyl group, 1, and 1-dimethyl propyl group, a hexyl group, As 1-methyl pentyl radical, 1-ethyl pentyl radical, 3, and 3-dimethyl butyl, a heptyl radical, 3, 7-dimethyl octyl radical, and C1 - C10 halo alkyl group shown by R3 For example, a trifluoromethyl radical, difluoromethyl group, a fluoro methyl group, The Tori Krol methyl group, Krol difluoromethyl group, 2 and 2, 2-trifluoroethyl radical, As C1 - C10 alkoxyalkyl group which 1, 1, 2, and 2-tetrafluoro ethyl group etc. is raised, and are shown by R3 for example, as C1 which a methoxymethyl radical, an ethoxymethyl radical, an isopropyl oxymethyl radical, a methoxy propyl group, etc. are raised, and is shown by R3 - C10 alkoxy group for example, as C1 - C10 haloalkoxy radical which methoxy group, ethoxy radical, 1, and 1-dimethylethoxy etc. is raised, and are shown by R3 For example, a trifluoro methoxy group, a difluoro methoxy group, a fluoro methoxy group, As C1 which 2, 2, and 2-trifluoroethoxy radical etc. is raised and is shown by R3 - C10 alkylthio group for example, as C1 which a methylthio radical, an ethyl thio radical, a butyl thio radical, etc. are raised, and is shown by R3 - a C10 halo alkylthio group For example, a trifluoro methylthio radical, a difluoro methylthio radical, a fluoro methylthio radical, As C2 - C10 alkenyl radical which 2, 2, and 2-trifluoroethyl thio radical etc. is raised, and are shown by R3 For example, a vinyl group, an allyl group, a 1-methyl-2-propenyl radical, a 2-methyl-2-propenyl radical, As C2 - C10 alkynyl group which 2-butenyl group, 2-pentenyl radical, a 3-methyl-2-butenyl group, etc. are raised, and are shown by R3 for example, as C3 - C10 cycloalkyl radical which an ethynyl group, a propargyl radical, 1-methyl-2-propynyl group, 2-butynyl radical, etc. are raised, and are shown by R3 for example, as C5 - C10 cyclo alkenyl radical which a cyclo propyl group, a cyclopentylic group, a cyclohexyl radical, etc. are raised, and are shown by R3 for example, as C2 - the C6 alkoxy carbonyl group which a cyclo pentenyl radical, a cyclohexenyl group, etc. are raised and are shown by R3 for example, as C3 - C30 trialkylsilyl group which a methoxycarbonyl group, an ethoxycarbonyl radical, etc. are raised and are shown by R3 for example, as C3 which a trimethylsilyl radical, a triethyl silyl radical, t-butyldimethylsilyl radical, etc. are raised, and is shown by two R3 - C5 alkylene group for example, as C3 which a trimethylene radical, a tetramethylen radical, etc. are raised and is shown by two R3 - C5 alkylene group for example, as C2 - C4 alkyleneoxy radical which a 2 and 2-difluoro trimethylene radical etc. is raised and are shown by two R3 for example, as C2 - C4 halo alkyleneoxy radical which an ethyleneoxy radical etc. is raised and are shown by two R3 for example, as C1 - C3 alkylene dioxy radical which 1, 1, 2, and 2-tetrafluoro ethyleneoxy radical etc. is raised, and are shown by two R3 for example, as a C1 - C3 halo alkylene dioxy radical which a methylene dioxy radical, an ethylene dioxy radical, etc. are raised, and is shown by two R3 The halogen atom which a fluoro methylene JIOKISHIKI radical, a difluoro methylene dioxy radical, etc. are raised, and is shown by R4 and R6 means a chlorine atom, a bromine atom, a fluorine atom, or iodine atom, and is [0007]. R4, R5, R6, and R7 It comes out, and as C1 - C4 alkyl group which are shown, a methyl group, an ethyl group, a propyl group, an isopropyl group, butyl, an isobutyl radical, 1-methylpropyl radical, etc. are raised, for example, and a fluoro methyl group, difluoromethyl group, a trifluoromethyl radical, the Tori Krol methyl group, Krol difluoromethyl group, 2 and 2, 2-trifluoroethyl radical, etc. are raised, for example as C1 - C4 halo alkyl group which are shown by R4, R5, R6, and R7

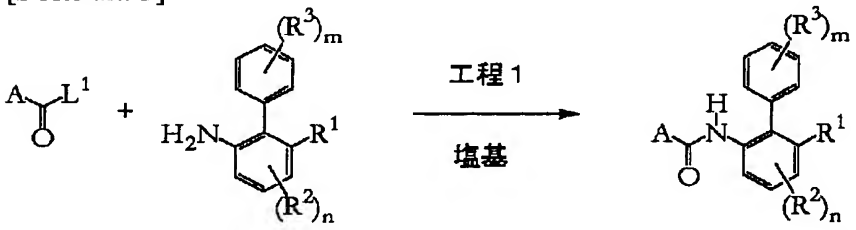
[0008] As an example of a more desirable compound in respect of plant disease prevention validity among this invention compounds N-(4'-chloro-6-methyl-biphenyl-2-IRU)-1-methyl - 3-trifluoromethyl-

1H-pyrazole-4-carboxylic amide (this invention compound 1-6), N-(4'-chloro-6-methyl-biphenyl-2-IRU)-2-methyl-4-trifluoromethyl-thiazole-5-carboxylic amide (this invention compound 2-6), N- (4'-chloro-6-methoxy-biphenyl-2-IRU)-1-methyl - 3-trifluoromethyl-1H-pyrazole-4-carboxylic amide (this invention compound 1-60) or N - 4'-chloro-6-Krol-biphenyl-2-IRU-2-methyl-4-trifluoromethyl-thiazole-5-carboxylic amide (this invention compound 1-89) etc. is raised.

[0009] According to following [manufacturing method A] or [a manufacturing method B], this invention compound is manufactured and can carry out things. A protective group can be used in order to protect a functional group from a reaction if needed in these manufacturing methods.

[0010] The manufacturing method of this invention compound which makes the compound shown by the [manufacturing method A] general formula [I], and the compound shown by the general formula [II] react to the bottom of existence of a base.

[Formula 3]



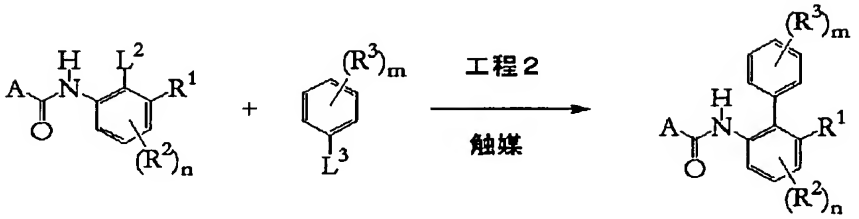
〔I〕 〔II〕 〔本発明化合物〕

[-- R1, R2, R3, A, m, and n express the same semantics as the above among a formula, and L1 expresses leaving groups, such as a chlorine atom and a bromine atom.]

Scheme The range of the reaction temperature in the reaction of the process 1 of-izing 3 is usually -20-100 degrees C, and the range of reaction time is usually 0.2 - 24 hours. The compound shown by the general formula [II] is usually used by the mole ratio of 0.2-5 to the compound shown by the general formula [I], and a base is usually used by the mole ratio of 1-10. As a base used at a process 1, organic bases, such as alkali-metal alkoxides, such as inorganic bases, such as a sodium hydroxide, a potassium hydroxide, a sodium carbonate, a potassium carbonate calcium carbonate, and sodium hydride, potassium-t-butoxide, sodium METOKIDO, and a sodium ethoxide, a pyridine, triethylamine, ethyl diisopropylamine, and an aniline, or such mixture are raised, for example. This reaction is usually performed in a solvent. As a solvent, for example 1,4-dioxane, a tetrahydrofuran, ethylene glycol wood ether, Aliphatic hydrocarbon solvents, such as ether solvents, such as t-butyl methyl ether, n-hexane, and n-heptane, Halogenated hydrocarbon solvents, such as aromatic hydrocarbon solvents, such as toluene, and monochlorobenzene, Nitril solvents, such as ester solvents, such as organic base solvents, such as a pyridine, triethylamine, and N.N-dimethylaniline, butyl acetate, and ethyl acetate, and an acetonitrile, N.N-dimethylformamide, dimethyl sulfoxide, water, or those mixture are raised. After the reaction mixture after reaction termination pours reaction mixture into water, it can perform the usual after treatment, such as an organic solvent extract and concentration, and can obtain the object compound. If required, recrystallization, distillation, a chromatography, etc. can refine the object compound.

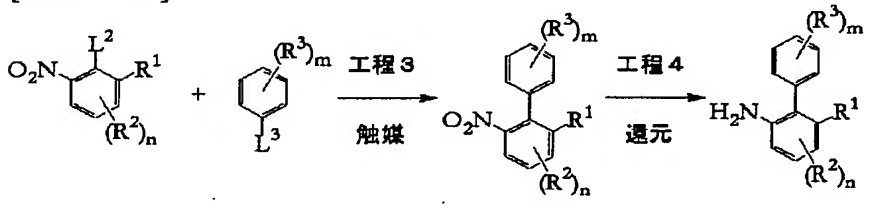
[0011] The manufacturing method of this invention compound which makes the compound shown by the [manufacturing method B] general formula [III], and the compound shown by the general formula [IV] react to the bottom of existence of a catalyst.

[Formula 4]



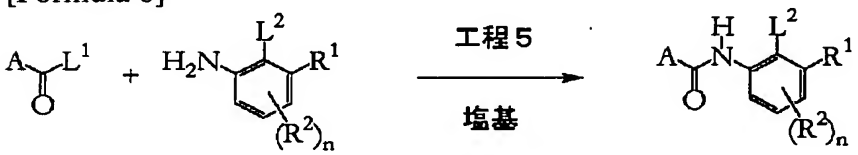
〔III〕 〔IV〕 〔本発明化合物〕

R1, R2, R3, A, m, and n express the same semantics as the above among [type. L2 expresses leaving groups, such as a chlorine atom, a bromine atom, iodine atom, and a trifluoromethane sulfonyloxy radical. L3 expresses B(OH)2 set, B(OR8) 2 set, or 93 SnR(s). in the same or difference, R8 expresses each C1 - C10 alkyl group, or expresses a -CH2CH2-radical or a -C(CH3)2C(CH3)2-radical with two R8, and expresses each C1 - C10 alkyl group in that R9 is the same or difference.]
Scheme The range of the reaction temperature in the reaction of the process 2 of-izing 4 is usually 20-120 degrees C, and the range of reaction time is usually 1 - 24 hours. The compound shown by the general formula [IV] is usually used by the mole ratio of 0.2-5 to the compound shown by the general formula [III], and a catalyst is usually used by the mole ratio of 0.001-0.1. As a catalyst used at a process 2, palladium catalysts, such as acetic-acid palladium (II) tetrakis (triphenylphosphine) palladium (0) {1 and 1'-bis(diphenylphospino) ferrocene} dichloro palladium (II) methylene chloride complex and screw-(triphenylphosphine) palladium (II) dichloride, are raised, for example. This reaction may be further performed to the bottom of existence of a base (for example, inorganic bases, such as sodium acetate, potassium acetate, potassium carbonate, tripotassium phosphate, and sodium bicarbonate) and a correlation migration catalyst (for example, quarternary ammonium salt, such as a tetrabutylammonium star's picture and a benzyl triethyl ammonium star's picture). Moreover, when L3 in the compound shown by the general formula [IV] is 103 SnR(s), it may carry out to the bottom of existence of copper (II) oxide, a silver oxide (II), etc. further. This reaction is usually performed in a solvent. As a solvent, nitril solvents, such as aromatic hydrocarbon solvents, such as aliphatic hydrocarbon solvents, such as ether solvents, such as alcoholic solvents, such as a methanol, ethanol, propanol, a butanol, and isopropanol, 1,4-dioxane, a tetrahydrofuran, ethylene glycol wood ether, and t-butyl methyl ether, n-hexane, and n-heptane, and toluene, and an acetonitrile, N.N-dimethylformamide, dimethyl sulfoxide, water, or those mixture are raised, for example. This reaction is more specifically performed to the approach of a publication, J.Org.Chem., 1995 and 60, and 7508-7510 the approach of a publication or Angew.Chem.Int.Ed.Engl., 1986, and 25,508-524 J.Org, Chem., 1997 and 62, and 7170-7173 according to the approach of a publication etc. After the reaction mixture after reaction termination pours reaction mixture into water, it can perform the usual after treatment, such as an organic solvent extract and concentration, and can obtain the object compound. If required, recrystallization, distillation, a chromatography, etc. can refine the object compound.
[0012] The compound shown by the general formula [I] can be obtained by the approach that the approach of an international patent application disclosure description [WO 93/No. 11117] publication, the approach of a Europe patent application disclosure description EP-A [-0545099 / No.] publication, or the approach of a Europe patent application disclosure description EP-A [-0589301 / No.] publication etc. is well-known. The compound shown by the general formula [II] is the following scheme. It can manufacture according to the approach shown by-izing 5.
[Formula 5]



〔V〕 〔IV〕 〔VI〕 〔II〕

L2, L3, R1, R2, and R3 express the same semantics as the above among [type. }
Scheme The reaction of the process 3 of-izing 5 is a scheme. By the same approach as the process 2 of-izing 4, the compound shown by the general formula [VI] can be obtained.
[0013] Scheme The process 4 of-izing 5 can obtain the compound shown by the general formula [II] according to the approach of given in United States Patent specification US-5068437 No. the approach of a publication, J.Org.Chem., 1979 and 44, and 1233-1236, the approach indicated by 4th edition experimental science lecture (organic synthesis VIII) 178 term.
[0014] The compound shown by the general formula [III] is the following scheme. It can manufacture according to the approach shown by-izing 6.
[Formula 6]



〔I〕 〔VII〕 〔III〕

[-- L1, L2, R1, R2, R3, A, m, and n express the same semantics as the above among a formula.]
Scheme The reaction of the process 5 of-izing 6 is a scheme. By the same approach as the process 1 of-izing 5, the compound shown by the general formula [III] can be obtained.
[0015] Although any components of other may not be added but you may use as it is when using this invention compound as an active principle of the germicide for plantation arts, it usually mixes with a solid support, liquid support, a surfactant, and the other adjuvants for pharmaceutical preparation, and manufactures medicine and uses for an emulsion, water dispersible powder, granulation water dispersible powder, emulsion pharmaceutical preparation, floor bull pharmaceutical preparation, powder material, a granule, etc. In these pharmaceutical preparation, this invention compound is usually contained 0.1 to 90% by the weight ratio as an active principle. As a solid support used in the case of this pharmaceutical-preparation-izing For example, kaolin clay, ATTAPARUJAITOKURE -, a bentonite, A montmorillonite, the acid clay, pyrophyllite, talc, diatomaceous earth, Natural organic objects, such as mineral matter, such as a calcite, a corn cob powder, and walnut shell powder, Impalpable powder or a granular object etc. which consists of synthetic inorganic substances, such as salts, such as the synthetic organic substance, such as a urea, a calcium carbonate, and an ammonium sulfate, and synthetic water oxidation silicon, etc. is raised. As liquid support For example, aromatic hydrocarbon, such as a xylene, alkylbenzene, and a methylnaphthalene Alcohols, such as isopropanol, ethylene glycol, propylene glycol, and cellosolve, Vegetable oil, such as ketones, such as an acetone, a cyclohexanone, and an isophorone, soybean oil, and cotton seed oil, petroleum system aliphatic hydrocarbon, ester, dimethyl sulfoxide, an acetonitrile, water, etc. are raised. As a surfactant, nonionic surface active agents, such as anionic surfactants, such as an alkyl-sulfuric-acid ester salt, an alkyl (aryl) sulfonate, dialkyl sulfo succinate, polyoxyethylene-alkyl-aryl-ether phosphate, a ligninsulfonic acid salt, and a naphthalene sulfonic-acid formalin condensate, polyoxyethylene alkyl aryl ether, a polyoxyethylene alkyl polyoxypropylene block copolymer, and a sorbitan fatty acid ester, etc. are raised, for example. As an adjuvant for pharmaceutical preparation, stabilizing agents, such as inorganic substances, such as polysaccharide, such as water soluble polymers, such as polyvinyl alcohol and a polyvinyl pyrrolidone, gum arabic, an alginic acid and its salt, CMC (carboxymethyl cellulose), and xanthan gum, aluminum magnesium silicate, and alumina sol, antiseptics, a coloring agent, and PAP (acid phosphoric-acid isopropyl), BHT, etc. are raised, for example. As the use approach of this invention compound, a foliage application, soil treatment, seed sterilization, etc. are specifically raised, and it can further usually use by any use approaches which this contractor uses.
[0016] When using this invention compound as an active principle of the germicide for plantation arts,

although the amount of application of the active principle may change with the class of object vegetation (crop etc.), the class of object disease, generating extent of disease, formulation, the use approach, a use stage, weather conditions, etc., it is 0.01-50g usually per a. 0.05-10g preferably. When diluting an emulsion, water dispersible powder, suspension, etc. with water and using them, the use concentration is 0.0005 - 1% preferably 0.0001 to 3%, and powder material, a granule, etc. are used as it is, without diluting in any way.

[0017] this invention compound can be used as germicides for plantation arts, such as Hataji, a paddy field, an orchard, a tea garden, a pasture, and a grass ground, and enhancement of sterilization validity can also be expected by mixing with other germicides for plantation arts, and using. As other germicides for plantation arts which can be mixed, for example Propiconazole, Triazimenol, pro KURORAZU, penconazole, tebuconazole, Flusilazole, diniconazol, bromine KONAZO-RU, epoxyconazole, JIFENOKONAZO-RU, cyproconazole, metoconazole, triflumizole, Tetraconazole, micro swine nil, fenbuconazole, hexa KONAZO-RU, Azole system sterilization compounds, such as full KINKONAZO-RU, triticonazole, Bitertanol, imazalil, and full TORIAHO-RU, Annular amine system sterilization compounds, such as a FEMPUROPI morph, tridemorph, and FEN pro pidgin, Benzimidazole system sterilization compounds, such as carbendazim, BENOMIRU, thiabendazole, and thiophanate-methyl, Procymidone, SHIPRO Di Nils, pilus meta-nil, JIETOFENKARUBU, Thiuram, fluazinam, MANKOZEBU, iprodione, vincrozoline, Chlorothalonil, captan, MEPANIPIRIMU, fenpiclonil, full dioxo nil, dichlofluanid, folpet, kresoxim-methyl, and AZOKI cis- -- fatty tuna -- a bottle -- a truffe ROKISHI straw bottle and PIKOKI cis- -- fatty tuna -- a bottle and an N-methyl-alpha-methoxy imino-2-[(2, 5-dimethyl phenoxy) methyl] phenyl acetamide -- SUPIROKISAMIN, kino KISHIFEN, FENHEKISAMIDO, FAMOKISADON, FENAMIDON (RP-407213), IPUROVARIKARUBU, etc. are raised.

[0018] this invention compound can also be mixed or used together with other insecticides for plantation arts, miticide, a nematicide, a herbicide, a plant growth regulator, and fertilizer. As this insecticide, miticide, and/or a nematicide For example, fenitrothion [O and O-dimethyl O-(3-methyl-4-nitrophenyl) phosphorothioate], FENI thione [O and O-dimethyl O-(3-methyl-4-(methylthio) phenyl) phosphorothioate], Diazinon [O and O-diethyl O-2-isopropyl-6-methylpyrimidine-4-IRUHOSUHORO thioate], chlorpyrifos [O and O-diethyl O- 3, 5, and 6-TORIKURORO-2-pyridyl phosphorothioate] -- Acephate [O and S-dimethyl acetyl phospho RAMIDOCHIOE-TO], Methidathion [S-2 and 3-dihydro-5-methoxy-2-oxo-- 1, 3, and 4-thiadiazole-3-ylmethyl O,O-dimethylphosphorodithioate], Disulfoton [O and O-diethyl S-2-ethyl thio ethyl phosphorothioate], DDVP [2 and 2-dichloro vinyl dimethyl phosphate], sulprofos [O-ethyl O-4-(methylthio) phenyl S-propylphosphorodithioate], Cyanophos [O-4-cyanophenyl O and O-dimethyl phosphorothioate], dioxa BENZOHOSU [2-methoxy-4H- 1, 3, and 2-benzodioxa HOSUFININ-2-sulfide] -- Dimethoate [O and O-dimethyl S-(N-methylcarbamoylmethyl) dithiophosphate], Phenthoate [ethyl 2-dimethoxy phosphinothioyl thio (phenyl) acetate], A malathion [diethyl (dimethoxy phosphinothioyl thio) succinate], Trichlorfon [dimethyl 2, 2, and 2-TORIKURORO-1-hydroxyethyl phosphonate], Azinephosmethyl [S-3, 4-dihydro-4-oxo-- 1, 2, 3-benzotriazine-3-ylmethyl O,O-dimethylphosphorodithioate], Monocrotophos [(Dimethyl E)-1-methyl-2-(methylcarbamoyl) vinyl phosphate], Ethion [O, O, O', O'-tetraethyl S, and S'-methylenebis (phosphorodithioate)], Organic phosphorus system compounds, such as phosthiazate [N-(O-methyl-S-sec-butyl) phosphoryl thiazolidine-2-ON], BPMC [2-sec-buthylphenyl methyl carbamate] Benfuracarb [ethyl N- (2, 3-dihydro-2, and 2-dimethylbenzofuran-7-yloxycarbonyl (methyl) amino thio]-N-isopropyl-beta-alaninate] --) Pro POKISURU [a 2-isopropoxy phenyl N-methyl carbamate], Carbosulfan [2, 3-dihydro - 2 and 2-dimethyl -7 - [Benzob] furanyl N-dibutylamino thio-N-methylcarbamate], Carbaryl [1-naphthyl N-methylcarbamate], a meso mill [S-methyl-N-[(methylcarbamoyl) oxy-] thio aceto imidate], Ethiofencarb [2-(ethyl thiomethyl) phenyl methylcarbamate], Aldicarb [a 2-methyl-2-(methylthio) propionaldehyde O-methylcarbamoyl oxime], Oxamyl [N and N-dimethyl-2-methylcarbamoyloxy imino-2-(methylthio) acetamido], Carver mate system compounds, such as FENOCHIOKARUBU [S-4-phenoxy butyl-N and N-dimethylthio carbamate, Etofenprox [2-(4-ethoxy phenyl)-2-methylpropyl-3-phenoxy benzyl ether], Fenvalerate [(RS)-alpha-cyano-3-phenoxybenzyl

(RS)-2-(4-chlorophenyl)-3-methyl butyrate], Esfenvalerate [(S)-alpha-cyano-3-(phenoxybenzyl S)-2-(4-chlorophenyl)-3-methyl butyrate], Foehn proper thorin [(RS)-alpha-cyano-3-phenoxybenzyl 2, 2 and 3, and 3-tetramethyl cyclopropane carboxylate], SHIPERUME thorin [(RS)-alpha-cyano-3-phenoxybenzyl (1RS, 3RS) -3-(2 and 2-dichloro vinyl)-2 and 2-dimethyl cyclopropane carboxylate], Permethrin [3-phenoxybenzyl (1RS, 3RS)-3-(2 and 2-dichloro vinyl)-2 and 2-methyl cyclopropane carboxylate], SHIHARO thorin [(RS)-alpha-cyano-3-phenoxybenzyl (Z)-(1RS, 3RS)-3-(2-chloro - 3, 3, and 3-truffe ROORO propenyl)-2 and 2-dimethyl cyclopropane carboxylate], Delta METORIN [(S)-alpha-cyano-m-phenoxybenzyl (1R, 3R) -3-(2 and 2-dibromo vinyl)-2 and 2-dimethyl cyclopropane carboxylate], Cycloprothrin [(RS)-alpha-cyano-3-phenoxybenzyl (RS) -2 and 2-dichloro-1-(4-ethoxy phenyl) cyclopropane carboxylate], Fluvalinate [alpha-cyano-3-phenoxybenzyl N-(2-chloro - alpha, alpha, and alpha-trifluoro-p-tolyl)-D-valinate], Bifenthrin [2-methyl biphenyl-3-(ylmethyl Z)-(1RS)-cis-3-(2-chloro - 3, 3, and 3-trifluoro prop-1-enyl)-2 and 2-dimethyl cyclopropane carboxylate], acrinathrin -- [(1R-{1alpha (S*) --) 3alpha(Z)}] -2, 2-dimethyl-3-[3-oxo--3-(2, 2, and 2-trifluoro - 1-(trifluoromethyl) ethoxy-1-propenyl] cyclopropane carboxylic-acid cyano (3-phenoxy phenol) methyl ester)], 2-methyl-2-(4-BUROMO difluoro methoxypheny) propyl (3-phenoxybenzyl) ether and tralomethrin [(S)-alpha-cyano-3-phenoxybenzyl (1R) - cis- - 3 - (1, 2, 2, and 2-Thet) RABUROMO ethyl -2, 2-dimethyl cyclopropane carboxylate], Pyrethroid compounds, such as silafluofen [[4-ethoxy phenyl (3-(4-fluoro-3-phenoxyphenyl) propyl) dimethylsilane], Thiadiazin derivatives, such as buprofezin (2-t-butyl imino-3-isopropyl-5-phenyl - 1, 3, 5-thoria JIAJINAN-4-ON), a nitro imidazolidine derivative and cartap (S and S'-(2-dimethylamino trimethylene) bis(thiocarbamate)] --) Thiocyclam [N and N-dimethyl - 1, 2, 3-trithiane-5-ylamine], NERAISU toxin derivatives, such as bensultap [S and S'-2-dimethylamino trimethylene JI (benzenethiosulfonate)], N-cyano amidine derivatives, such as N-cyano-N'- methyl-N'-(6-chloro-3-pyridyl methyl) acetamidine, Endosulfan [6, 7, 8, 9 and 10, 10-hexa chloro - 1, 5,a [5], 6, 9, and 9a-hexahydro -6, 9-methano - 2, 4, 3-benzodioxa thiepine oxide], gamma-BHC (1, 2, 3, 4, 5, and 6-hexachlorocyclohexane] --) 1 and 1-screw (chlorophenyl) - Chlorinated hydrocarbon compounds, such as 2, 2, and 2-trichloroethanol, KURORU fluazuron [1-(3, 5-dichloro-4-(3-chloro-5-trifluoro methylpyridine-2-yloxy) phenyl)-3-(2, 6-difluoro benzoyl) urea], Teflubenzuron [1-(3, 5-dichloro -2, 4-difluoro phenyl)-3-(2, 6-difluoro benzoyl) urea], Benzoyl phenyl urea system compounds, such as full FENOKUSURON [1-(4-(2-chloro-4-trifluoro methylphenoxy)-2-fluoro phenyl)-3-(2, 6-difluoro benzoyl) urea], Amitraz [N and N' [(methylimino) dimethylidyne] G 2,4-xylidine], Formamidine derivatives, such as chlordimeform [N'-(4-chloro-2-methylphenyl)-N and N-dimethyl meta-NIMIDAMIDO], Thiourea derivatives, such as JIAFENCHIURON [an N-(2, 6-diisopropyl-4-phenoxyphenyl)-N'-t-butyl carbodiimide], A phenylpyrazole series compound, tebufenozide [N-t-butyl-N'-(4-ethyl benzoyl)-3 and 5-dimethylbenzo hydrazide], 4-BUROMO-2-(4-chlorophenyl)-1-ethoxymethyl-5-trifluoromethyl pyrrole-3-carbonitrile, BUROMOPUROPIRE-TO [isopropyl 4 and 4'-dibromo BENJIRE-TO], Tetradifon [4-chlorophenyl 2, 4, 5-TORIKURORO phenyl sulfone], Chinomethionat [S and S-6-methylquinoxaline -2 and 3-diyldithiocarbonate], A pro PAL gate [2-(4-t-butylphenoxy) cyclohexyl prop-2-ylsulfate], FEMBUTATIN Oxide [screw [tris (2-methyl-2-phenylpropyl) Tin] oxide], HEKISHICHIAZOKUSU [(4RS, 5RS) the -5-(4-chlorophenyl)-N-chloro hexyl-4-methyl-2-oxo--1 and 3-thiazolidine-3-carboxamide], Clofentezine [3, 6-screw (2-chlorophenyl) - 1, 2, 4, 5-tetrazine, Pilus DACHIOBEN [2-t-butyl-5- (4-t-butylbenzyl thio)-4-chloropyridazine-3(2H)-ON], fenpyroximate [t-(butyl E)-4-[(1,3-dimethyl-5-phenoxypyrazol-4-yl) methyleneaminooxymethyl] benzoate], Tebufenpyrad [N-4-t-butylbenzyl-4-chloro-3-ethyl-1-methyl-5-pyrazolecarboxamide], PORINA cutin complex [tetra-NAKUCHIN, JINAKUCHIN, and TORINA cutin], MIRUBEME cutin, ABERUME cutin, eve-MEKUCHIN, Azadirachtin [AZAD], Pilus midge FEN [5-chloro - N-[2-{4-(2-ethoxyethyl)-2 and 3-dimethyl phenoxy} ethyl]-6-ethyl pyrimidine-4-amine, Pymetrozine [2, 3, 4, and 5-tetrahydro-3-oxo--4-[(pyridine-3-IRU)-methyleneamino]-6-methyl - 1, 2, and 4-triazine etc. is raised.

[0019] The following disease can be raised if it considers as the plant disease which can be prevented with this invention compound.

The rice blast (Pyricularia oryzae) of a rice, Cochliobolus miyabeanus (Cochliobolus miyabeanus), Rhizoctonia solani (Rhizoctonia solani), Japanese noodles **** of wheat (Erysiphe graminis), A red

mold disease (Gibberella zeae), rust (Puccinia striiformis, P.graminis, P.recondita, P.hordei), Snow mould (Typhula sp., Micronectriella nivalis), nakedness smut (Ustilago tritici, U.nuda) Raw **** smut (Tilletia caries) Pseudocercosporella herpotrichoides (Pseudocercosporella herpotrichoides), A cloud form disease (Rhynchosporium secalis) and Septoria tritici (Septoria tritici), Leptosphaeria nodorum (Leptosphaeria nodorum), the sunspot disease of citrus (Diaporthe citri), a scab (Elsinoe fawcetti) and fruits rot (Penicillium digitatum, P.italicum) the moniliasis (Sclerotinia mali) of an apple, and illness not rotting (Valsa mali) Japanese noodles **** (Podosphaera leucotricha), A spot fallen-leaves disease (Alternaria mali), a black spot (Venturia inaequalis), The black spot of a pear (Venturia nashicola, V.pirina), A black rot (Alternaria kikuchiana), Gymnosporangium japonicum (Gymnosporangium haraeanum), The brown rot (Sclerotinia cinerea) of a peach, a black spot (Cladosporium carpophilum), Phomopsis rot (Phomopsis sp.), and the black and **** (Elsinoe ampelina) of a grape, Glomerella cingulata (Glomerella cingulata) and Japanese noodles **** (Uncinula necator), Rust (Phakopsora ampelopsidis) A black lot disease (Guignardia bidwellii), Downy mildew (Plasmopara viticola), ****** of an oyster (Gloeosporium kaki), fallen-leaves disease (Cercospora kaki, Mycosphaerella nawae) ****** of melons (Colletotrichum lagenarium), Japanese noodles **** (Sphaerotheca fuliginea), Mycosphaerella melonis (Mycosphaerella melonis) fusarium disease (Fusarium oxysporum) Downy mildew (Pseudoperonospora cubensis) an epidemic (Phytophthora sp.) and seedling damping-off (Pythium sp.) Alternaria solani (Alternaria solani) and leaf mold disease (Cladosporium fulvum) An epidemic (Phytophthora infestans) and the Phomopsis vexans (Phomopsis vexans) of an eggplant, Japanese noodles **** (Erysiphe cichoracearum), the black rot of the Brassicaceae vegetables (Alternaria japonica), The white spot disease (Cercosporella brassicae) and the rust (Puccinia allii) of a Welsh onion, A purpura (Cercospora kikuchii), and the black and **** (Elsinoe glycines) of soybeans, sunspot disease (Diaporthe phaseolorumvar.sojae) ****** (Colletotrichum lindemthianum) of a kidney bean, The Cercospora personata of a peanut (Cercospora personata), A Cercospora leaf spot (Cercospora arachidicola), Japanese noodles **** of a pea (Erysiphe pisi), The leaf blight (Alternaria solani) of a potato, and an epidemic (Phytophthora infestans), Japanese noodles **** of a strawberry (Sphaerotheca humuli), The network rice cake disease of tea (Exobasidium reticulatum), Elsinoe leucospila (Elsinoe leucospila) and the Gymnosporangium japonicum of tobacco (Alternaria longipes), Japanese noodles **** (Erysiphe cichoracearum), ****** (Colletotrichum tabacum) and downy mildew (Peronospora tabacina), An epidemic (Phytophthora nicotianae), the Cercospora leaf spot of a sugarbeet (Cercospora beticola), A rose black spot (Diplocarpon rosae) and Japanese noodles **** (Sphaerotheca pannosa), septoria chrysanthemi-indici of a chrysanthemum (Septoria chrysanthemi-indici) White rust (Puccinia horiana), the gray mold disease (Botrytis cinerea) of various crops, sclerotinia rot (Sclerotinia sclerotiorum) [0020], etc.

[Example] Hereafter, although the example of manufacture, the example of pharmaceutical preparation, the example of a trial, etc. explain this invention in more detail, this invention is not limited only to these examples. First, the example of manufacture and the example of reference manufacture show respectively the example of manufacture of this invention compound, and the example of manufacture of the manufacture intermediate field of this invention compound. In addition, the number of this invention compound is a compound number given in the after-mentioned table 1 - a table 21.

[0021] Example of manufacture 1N-(2-BUROMO-3-methyl-phenyl)-1-methyl - 300mg (0.828mmol) of 3-trifluoromethyl-1H-pyrazole-4-carboxylic amide, 146mg (1.07mmol) of 4-chlorophenyl boron acids, 880mg (4.16mmol) of tripotassium phosphate hydrates, It stirred at 80 degrees C for 3 hours after mixing 34mg [of {1 and 1'-bis(diphenylphospino) ferrocene} dichloro palladium (II) methylene chloride complexes] (0.042mmol), and ethylene glycol wood ether 4.5ml. Mixture was filtered after cooling to the room temperature, and the solvent was distilled off under reduced pressure. residue is given to a silica gel column chromatography (n-hexane: eluted in ethyl-acetate =2:8 to 0:10) -- 139mg (this invention compound 1-6) of N-(4'-chloro-6-methyl-biphenyl-2-IRU)-1-methyl-3-trifluoromethyl-1H-pyrazole-4-carboxylic amide was obtained.

1H-NMR(CDCl3,TMS)

delta(ppm): -- 8.16 (1H, d, J= 8.2Hz), 7.78 (1H, s), 7.44-7.48 (2H, m), 7.32 (1H, t, J= 7.9Hz) and 7.22

(1H, s), 7.14-7.17 (2H, m), and 7.09 (1H, d, and J= 7.5Hz), 3.92 (3H, s) and 2.03 (3H, s)
[0022] The specified substance following by the same approach as the example 2 of manufacture - the example 1 of 5 manufactures was obtained.
N-(6-methyl-biphenyl-2-IRU)-1-methyl - 3-trifluoromethyl-1H-pyrazole-4-carboxylic amide (this invention compound 1-1)
1H-NMR(CDCl3,TMS)
delta(ppm): -- 8.24 (1H, d, J= 8.2Hz), 7.67 (1H, s), 7.41-7.51 (3H, m), 7.20-7.33 (4H, m), and 7.06 (1H, d, J= 7.6Hz), 3.89 (3H, s) and 2.03 (3H, s)
[0023] N-(4'-fluoro-6-methyl-biphenyl-2-IRU)-1-methyl - 3-trifluoromethyl-1H-pyrazole-4-carboxylic amide (this invention compound 1-5)
1H-NMR(CDCl3,TMS)
delta(ppm): -- 8.20 (1H, d, J= 8.2Hz), 7.79 (1H, s), 7.27-7.40 (2H, m), 7.18-7.20 (4H, m), and 7.09 (1H, d, and J= 7.6Hz), 3.92 (3H, s) and 2.02 (3H, s)
[0024] N-(4'-trifluoromethyl-6-methyl-biphenyl-2-IRU)-1-methyl - 3-trifluoromethyl-1H-pyrazole-4-carboxylic amide (this invention compound 1-9)
1H-NMR(CDCl3,TMS)
3.91 (3H, s) delta (ppm):8.17 (1H, d, J= 8.2Hz), 7.80 (1H, s) and 7.75 (2H, d, J= 8.2Hz), 7.3-7.4 (3H, m), 7.1-7.2 (2H and m), 2.03 (3H, s)
[0025] N-(4'-methyl-6-methyl-biphenyl-2-IRU)-1-methyl - 3-trifluoromethyl-1H-pyrazole-4-carboxylic amide (this invention compound 1-8)
1H-NMR(CDCl3,TMS)
delta(ppm): -- 8.24 (1H, d, J= 8.2Hz), 7.68 (1H, s), 7.26-7.35 (4H, m), 7.06-7.13 (3H and m), and 3.90 (3H, s), 2.41 (3H, s) and 2.04 (3H, s)
[0026] 2-methyl after mixing example of manufacture 62-amino-4'-chloro-6-methyl-biphenyl-2-ylamine 220mg (1mmol), triethylamine 120mg (1.2mmol), and THF3ml - It was dropped under ice-cooling of 4-trifluoromethyl-thiazole-5-carbonyl chloride 230mg (1mmol), and mixture was stirred at the room temperature for about 1 hour. After ethyl acetate's having extracted mixture, and rinsing this organic layer and drying (sodium sulfate), the solvent was distilled off under reduced pressure, residue was filtered after washing by n-hexane, and 260mg (this invention compound 2-6) of N-(4'-chloro-6-methyl-biphenyl-2-IRU)-2-methyl-4-trifluoromethyl-thiazole-5-carboxylic amide was obtained.
1H-NMR(CDCl3,TMS)
delta(ppm): -- 8.19 (1H, d, J= 8.2Hz), 7.47 (2H, d, J= 8.4Hz), 7.3-7.4 (2H, m), 7.1-7.2 (3H, m), and 2.70 (3H, s) and 2.04 (3H, s)
[0027] The specified substance following by the same approach as the example 7 of manufacture and the example 6 of 8 manufactures was obtained.
2-chloro-N -(4'-chloro-6-methyl-biphenyl-2-IRU)- Nicotinamide (this invention compound 4-3)
1H-NMR(CDCl3,TMS)
delta (ppm):8.43 (1H, dd, J = 6.6 or 1.7Hz), 8.33 (1H, d, J= 8.3Hz) 8.17 (1H, dd, J = 9.5 or 1.8Hz), 7.99 (1H, s), 7.46-7.49 (2H, m), 7.30-7.43 (2H, m), and 7.19-7.22 (2H, m) -- 7.14 (1H, d, J= 7.7Hz) and 2.05 (3H, s)
[0028] N-(4'-chloro-6-methyl-biphenyl-2-IRU)-2-trifluoromethyl-benzamide (this invention compound 5-5)
1H-NMR(CDCl3,TMS)
delta(ppm): -- 8.21 (1H, d, J= 8.3Hz), 7.66 (1H, d, J= 9.0Hz), 7.30-7.58 (6H, m), 7.10-7.25 (3H, m), and 6.95 (1H, s) and 2.04 (3H, s)
[0029] 300mg (0.77mmol) of example of manufacture 9N-(2-BUROMO-3-methyl-phenyl)-2-methyl-4-trifluoromethyl-thiazole-5-carboxylic amide, 140mg (1.0mmol) of 4-fluoro phenyl boron acids, 820mg (3.85mmol) of tripotassium phosphate hydrates, It stirred at 80 degrees C for 3 hours after mixing 31mg [of {1 and 1'-bis(diphenylphospino) ferrocene} dichloro palladium (II) methylene chloride complexes] (0.039mmol), and ethylene glycol wood ether 5ml. Mixture was filtered after cooling to the room temperature, and the solvent was distilled off under reduced pressure. residue is given to a silica gel

column chromatography (n-hexane: eluted in ethyl-acetate =2:8 to 0:10) -- 150mg (this invention compound 2-5) of N-(4'-fluoro-6-methyl-biphenyl-2-IRU)-2-methyl-4-trifluoromethyl-thiazole-5-carboxylic amide was obtained.
1H-NMR(CDCl3,TMS)
2.69 (3H, s) delta (ppm):8.21 (1H, d, J= 8.3Hz), 7.30-7.36 (2H, m), 7.11-7.21 (5H, m), 2.03 (3H, s)
[0030] The specified substance following by the same approach as the example 10 of manufacture - the example 9 of 13 manufactures was obtained.
N-(4'-trifluoromethyl-6-methyl-biphenyl-2-IRU)-2-methyl-4-trifluoromethyl-thiazole-5-carboxylic amide (this invention compound 2-9)
1H-NMR(CDCl3,TMS)
2.68 (3H, s) delta (ppm):8.2-8.3 (1H, m), 7.75-7.79 (2H, m), 7.33-7.45 (3H, m), 7.18-7.25 (3H, m), 2.04 (3H, s)
[0031] N-(6-methyl-biphenyl-2-IRU)-2-methyl-4-trifluoromethyl-thiazole-5-carboxylic amide (this invention compound 2-1)
1H-NMR(CDCl3,TMS)
delta (ppm):8.10-8.25 (1H, m), 7.30-7.52 (5H, m), 7.19-7.25 (2H, m), 7.13 (1H, d, and J= 7.5Hz), 2.68 (3H, s), 2.05 (3H, s)
[0032] N-(4'-methyl-6-methyl-biphenyl-2-IRU)-2-methyl-4-trifluoromethyl-thiazole-5-carboxylic amide (this invention compound 2-8)
1H-NMR(CDCl3,TMS)
delta(ppm): -- 8.25 (1H, d, J= 8.2Hz), 7.45 (1H, s), 7.26-7.45 (3H, m), 7.07-7.18 (3H and m), and 2.68 (3H, s), 2.41 (3H, s) and 2.05 (3H, s)
[0033] N-(4'-methoxy-6-methyl-biphenyl-2-IRU)-2-methyl-4-trifluoromethyl-thiazole-5-carboxylic amide (this invention compound 2-10)
1H-NMR(CDCl3,TMS)
delta(ppm): -- 8.25 (1H, d, J= 7.4Hz), 7.45 (1H, s), 7.26-7.34 (1H, m), 7.10-7.14 (3H and m), 7.00-7.05 (2H and m), and 3.86 (3H, s), 2.69 (3H, s) and 2.05 (3H, s)
[0034] Example of manufacture 14N-(2-BUROMO-3-methoxy-phenyl)-1-methyl - 250mg (0.66mmol) of 3-trifluoromethyl-1H-pyrazole-4-carboxylic amide, 135mg (0.86mmol) of 4-chlorophenyl boron acids, 700mg (3.31mmol) of tripotassium phosphate hydrates, It stirred at 80 degrees C for 3 hours after mixing 27mg [of {1 and 1'-bis(diphenylphospino) ferrocene} dichloro palladium (II) methylene chloride complexes] (0.033mmol), and ethylene glycol wood ether 4ml. Mixture was filtered after cooling to the room temperature, and the solvent was distilled off under reduced pressure. residue is given to a silica gel column chromatography (n-hexane: eluted in ethyl-acetate =2:8 to 0:10) -- 185mg (this invention compound 1-60) of N-(4'-chloro-6-methoxy-biphenyl-2-IRU)-1-methyl-3-trifluoromethyl-1H-pyrazole-4-carboxylic amide was obtained.
1H-NMR(CDCl3,TMS)
delta(ppm): -- 7.97 (1H, d, J= 8.4Hz), 7.77 (1H, s), 7.43-7.45 (2H, m), 7.37 (1H, t, J= 8.3Hz) and 7.29 (1H, s), 7.18-7.25 (2H, m), and 6.80 (1H, d, J= 8.2Hz), 3.92 (3H, s) and 3.73 (3H, s)
[0035] It was dropped under ice-cooling of 1-methyl-3-trifluoromethyl-1H-pyrazole-4-carbonyl chloride 450mg (2.1mmol) after mixing example of manufacture 154'-chloro-6-chloro-biphenyl-2-ylamine 500mg (2.1mmol), triethylamine 250mg (2.5mmol), and THF5ml, and mixture was stirred at the room temperature for about 1 hour. After ethyl acetate's extracting mixture, and rinsing this organic layer and drying (sodium sulfate), Distill off a solvent under reduced pressure and residue is given for residue to a thin layer silica gel column chromatography (n-hexane: eluted in ethyl-acetate =2:1). N-(4'-chloro-6-chloro-biphenyl-2-IRU)-1-methyl - 110mg (this invention compound 1-89) of 3-trifluoromethyl-1H-pyrazole-4-carboxylic amide was obtained.
1H-NMR(CDCl3,TMS)
delta(ppm): -- 8.32 (1H, d, J= 8.1Hz), 7.80 (1H, s), 7.47-7.50 (2H, m), and 7.19- 7.40 (5H, m) and 3.93 (3H, s)
[0036] Example of reference manufacture 11-methyl - Mixture (1.35g [of 3-trifluoromethyl-1H-

pyrazole-4-carboxylic acids] (6.95mmol), 1.24g [of thionyl chlorides] (10.4mmol), and dimethylformamide 25mg (0.34mmol) and toluene 5.4ml) is kept warm at 90 degrees C for 1 hour, and it condenses under after [cooling] reduced pressure, and is 1-methyl. - 3 - Trifluoromethyl-1H-pyrazole-4-carbonyl chloride ****. It was dropped at mixture (this, 2-BUROMO-3-methylaniline 1.42g (7.63mmol), diisopropyl ethylamine 2.69g (14.5mmol), and toluene 10ml) at 0 degree C, and, subsequently stirred at the room temperature by 0 degree C for 5 hours for 30 minutes. Ethyl acetate extracts mixture, sequential washing of this organic layer is carried out with 5% dilute hydrochloric acid, water, 3% caustic-alkali-of-sodium water, saturated-ammonium-chloride water, and saturation brine, and it dries after washing by t-butyl methyl ether and the hexane which cooled the rough crystal condensed and obtained after drying with anhydrous sodium sulfate, and is N-(2-BUROMO-3-methyl-phenyl)-1-methyl. - 2.22g of 3-trifluoromethyl-1H-pyrazole-4-carboxylic amide was obtained.
1H-NMR(CDCl3,TMS)
delta (ppm):8.21 (2H, d), 8.00 (1H, s), 7.22-7.27 (1H, m), 7.05 (1H, d, J= 7.6Hz), 4.02 (3H, s), 2.44 (3H, s)
[0037] It stirred at 80 degrees C for 3 hours after mixing example of reference manufacture 22-BUROMO-3-methyl nitrobenzene 2.0g (9.26mmol), 1.51g [of 4-chlorophenyl boron acids] (11.1mmol), 9.8g [of tripotassium phosphate hydrates] (46.2mmol), 0.38g [of {1 and 1'-bis (diphenylphospino) ferrocene} dichloro palladium (II) methylene chloride complexes] (0.46mmol), and ethylene glycol wood ether 30ml. Mixture was filtered after cooling to the room temperature, and the solvent was distilled off under reduced pressure. Residue is given to a silica gel column chromatography (n-hexane: eluted in ethyl-acetate =2:8 to 0:10), and it is 4'. - Chloro-6-methyl-2-nitro biphenyl 1.81g was obtained.
1H-NMR(CDCl3,TMS)
delta (ppm):7.7 (1H, d), 7.5 (1H, d), 7.40-7.42 (3H, m), and 7.11- 7.14 (2H, m) and 2.13 (3H, s)
[0038] Example of reference manufacture 34' - It stirred at this temperature after dropping hydrazine hydrate (5.87g, 116mmol) by 80-100 degrees C for 2.5 hours into chloro-6-methyl-2-nitro biphenyl (example 2 of reference manufacture) 11.47g (46.33mmol), 0.35g of 5%Pt / carbon, and mono-chlorobenzene 120ml mixture. The obtained mixture was cooled to the room temperature, chloroform and water were added, and insoluble matter was carried out the ** exception with the glass filter which carried out precoat of the cerite. The organic layer of a filtrate was separated and the solvent was distilled off under reduced pressure, and residue was carried out after washing and a ** exception by n-hexane, it dried, and 4'-chloro-6-methyl-2-biphenyl-2-ylamine 8.27g was obtained.
1H-NMR(CDCl3,TMS)
delta (ppm):7.43-7.46 (2H, m), 7.18-7.25 (2H, m), 7.06 (1H, t, J= 7.8Hz), 6.69 (1H, d, J= 7.5Hz), 6.62 (1H, d, J= 7.9Hz), 3.41 (2H, s), 1.99 (3H, s)
[0039] Subsequently 10.55g [of example of reference manufacture 42-methyl-4-trifluoromethyl-thiazole-5-carboxylic acids] (50.0mmol), 9.00g [of thionyl chlorides] (75.0mmol), and toluene 100ml mixture is kept warm at 80 degrees C by 50 to 60 degree C for 4 hours for 1 hour, and it condenses under after [cooling] reduced pressure, and is 2-methyl. - 4-trifluoromethyl-thiazole-5-carbonyl chloride 11.15g was obtained. This 2-methyl - 4-trifluoromethyl-thiazole-5-carbonyl chloride 2.34g (10.2mmol) was taught to mixture (2-BUROMO-3-methylaniline 2.0g (10.2mmol), triethylamine 1.24g (12.2mmol), and tetrahydrofuran 20ml) at 0 degree C, and, subsequently it stirred at the room temperature by 0 degree C for 1 to 2 hours for 30 minutes. After ethyl acetate's having extracted mixture, carrying out backwashing by water of this organic layer and drying with anhydrous sodium sulfate, the rough crystal which might be condensed was dried after washing by n-hexane, and 2.90g of N-(2-BUROMO-3-methyl-phenyl)-2-methyl-4-trifluoromethyl-thiazole-5-carboxylic amide was obtained.
1H-NMR(CDCl3,TMS)
delta (ppm):8.32 (1H, s), 8.20 (1H, d, J= 8.2Hz), 7.26 (1H, t, J= 7.8Hz), 7.09 (1H, d, J= 7.0Hz), 2.78 (3H, s), 2.45 (3H, s)
[0040] Example of reference manufacture 51-methyl - Subsequently 8.0g [of 3-trifluoromethyl-1H-

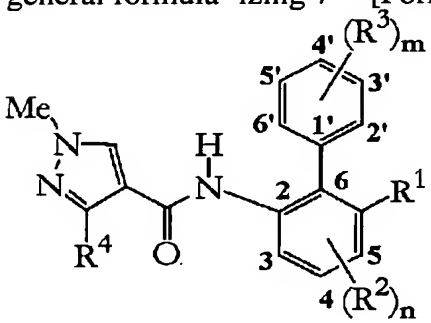
pyrazole-4-carboxylic acids] (17.5mmol), 7.4g [of thionyl chlorides] (62.0mmol), and toluene 100ml mixture was kept warm at 80 degrees C by 50 to 60 degree C for 4 hours for 1 hour, it condensed under after [cooling] reduced pressure, and 1-methyl-3-trifluoromethyl-1H-pyrazole-4-carbonyl chloride 6.0g was obtained. This 1-methyl-3-trifluoromethyl-1H-pyrazole-4-carbonyl chloride 0.37g (1.73mmol) was dropped at mixture (2-BUROMO-3-methoxyaniline 0.35g (1.73mmol), triethylamine 0.21g (2.10mmol), and tetrahydrofuran 4ml) under ice-cooling, and mixture was stirred at the room temperature for about 1 hour. After ethyl acetate's extracting mixture, and rinsing this organic layer and drying (sodium sulfate), a solvent is distilled off under reduced pressure and residue is filtered after washing by n-hexane, and it is N-(2-BUROMO-3-methoxy-phenyl)-1-methyl. - 0.47g of 3-trifluoromethyl-1H-pyrazole-4-carboxylic amide was obtained.

1H-NMR(CDCl3,TMS)

delta (ppm):8.23 (1H, s), 8.06 (1H, d, J= 8.7Hz), 7.99 (1H, s), 7.31 (1H, t, J= 8.3Hz), 6.72 (1H, d, J= 9.6Hz), 4.01 (3H, s), 3.92 (3H, s)

[0041] Next, the example of this invention compound is shown in a table 1 - a table 21 with a compound number.

general formula -izing 7 -- [Formula 7]



The compound come out of and shown.

[A table 1]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4
1-1	Me	-	-	CF_3
1-2	Me	-	2'-F	CF_3
1-3	Me	-	3'-F	CF_3
1-4	Me	-	3'-Cl	CF_3
1-5	Me	-	4'-F	CF_3
1-6	Me	-	4'-Cl	CF_3
1-7	Me	-	4'-Br	CF_3
1-8	Me	-	4'-Me	CF_3
1-9	Me	-	4'-CF_3	CF_3
1-10	Me	-	4'-OMe	CF_3
1-11	Me	-	4'-OCF_3	CF_3
1-12	Me	-	4'-SMe	CF_3
1-13	Me	-	3',4'-diCl	CF_3
1-14	Me	-	3'-Me-4'-Cl	CF_3
1-15	Me	-	3'-F-4'-Cl	CF_3
1-16	Me	-	3'-Cl-4'-CF_3	CF_3
1-17	Me	-	2',4'-diF	CF_3
1-18	Me	-	2',5'-diF	CF_3
1-19	Me	-	4'-$SiMe_3$	CF_3
1-20	Me	-	-	CHF_2
1-21	Me	-	4'-F	CHF_2
1-22	Me	-	4'-Cl	CHF_2
1-23	Me	-	4'-Me	CHF_2
1-24	Me	-	4'-CF_3	CHF_2
1-25	Et	-	-	CF_3

[A table 2]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4
1-26	Et	-	4'-F	CF_3
1-27	Et	-	4'-Cl	CF_3
1-28	Et	-	4'-Me	CF_3
1-29	Et	-	4'-CF_3	CF_3
1-30	CF_3	-	-	CF_3
1-31	CF_3	-	4'-F	CF_3
1-32	CF_3	-	4'-Cl	CF_3
1-33	CF_3	-	4'-Me	CF_3
1-34	CF_3	-	4'-CF_3	CF_3
1-35	c-Pr	-	-	CF_3
1-36	c-Pr	-	4'-F	CF_3
1-37	c-Pr	-	4'-Cl	CF_3
1-38	c-Pr	-	4'-Me	CF_3
1-39	c-Pr	-	4'-CF_3	CF_3
1-40	$CH=CH_2$	-	-	CF_3
1-41	$CH=CH_2$	-	4'-F	CF_3
1-42	$CH=CH_2$	-	4'-Cl	CF_3
1-43	$CH=CH_2$	-	4'-Me	CF_3
1-44	$CH=CH_2$	-	4'-CF_3	CF_3
1-45	$-C\equiv CH$	-	-	CF_3
1-46	$-C\equiv CH$	-	4'-F	CF_3
1-47	$-C\equiv CH$	-	4'-Cl	CF_3
1-48	$-C\equiv CH$	-	4'-Me	CF_3
1-49	$-C\equiv CH$	-	4'-CF_3	CF_3
1-50	Me	4-F	-	CF_3

[A table 3]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4
1-51	Me	4-F	4'-F	CF_3
1-52	Me	4-F	4'-Cl	CF_3
1-53	Me	4-F	4'-Me	CF_3
1-54	Me	4-F	4'-CF_3	CF_3
1-55	OMe	-	-	CF_3
1-56	OMe	-	2'-F	CF_3
1-57	OMe	-	3'-F	CF_3
1-58	OMe	-	3'-Cl	CF_3
1-59	OMe	-	4'-F	CF_3
1-60	OMe	-	4'-Cl	CF_3
1-61	OMe	-	4'-Br	CF_3
1-62	OMe	-	4'-Me	CF_3
1-63	OMe	-	4'-CF_3	CF_3
1-64	OMe	-	4'-OMe	CF_3
1-65	OMe	-	4'-OCF_3	CF_3
1-66	OMe	-	4'-SMe	CF_3
1-67	OMe	-	3',4'-diCl	CF_3
1-68	OMe	-	3'-Me-4'-Cl	CF_3
1-69	OMe	-	3'-F-4'-Cl	CF_3
1-70	OMe	-	3'-Cl-4'-CF_3	CF_3
1-71	OMe	-	2',4'-diF	CF_3
1-72	OMe	-	2',5'-diF	CF_3
1-73	OMe	-	4'-SiMe3	CF_3
1-74	Oi-Pr	-	-	CF_3
1-75	Oi-Pr	-	4'-F	CF_3

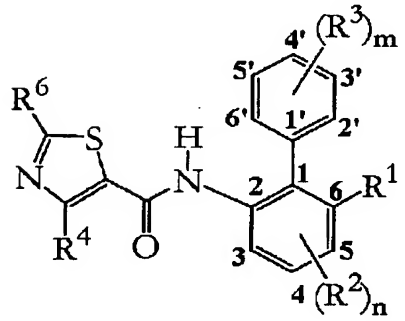
[A table 4]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4
1-76	Oi-Pr	-	4'-Cl	CF_3
1-77	Oi-Pr	-	4'-Me	CF_3
1-78	Oi-Pr	-	4'-CF_3	CF_3
1-79	OCF_3	-	-	CF_3
1-80	OCF_3	-	4'-F	CF_3
1-81	OCF_3	-	4'-Cl	CF_3
1-82	OCF_3	-	4'-Me	CF_3
1-83	OCF_3	-	4'-CF_3	CF_3
1-84	Cl	-	-	CF_3
1-85	Cl	-	2'-F	CF_3
1-86	Cl	-	3'-F	CF_3
1-87	Cl	-	3'-Cl	CF_3
1-88	Cl	-	4'-F	CF_3
1-89	Cl	-	4'-Cl	CF_3
1-90	Cl	-	4'-Br	CF_3
1-91	Cl	-	4'-Me	CF_3
1-92	Cl	-	4'-CF_3	CF_3
1-93	Cl	-	4'-OMe	CF_3
1-94	Cl	-	4'-OCF_3	CF_3
1-95	Cl	-	4'-SMe	CF_3
1-96	Cl	-	3',4'-diCl	CF_3
1-97	Cl	-	3'-Me-4'-Cl	CF_3
1-98	Cl	-	3'-F-4'-Cl	CF_3
1-99	Cl	-	3'-Cl-4'-CF_3	CF_3
1-100	Cl	-	2',4'-diF	CF_3

[A table 5]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4
1-101	Cl	-	2',5'-diF	CF_3
1-102	Cl	-	4'-SiMe3	CF_3

general formula -izing 8 -- [Formula 8]



The compound come out of and shown.

[A table 6]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4	R^6
2-1	Me	-	-	CF_3	Me
2-2	Me	-	2'-F	CF_3	Me
2-3	Me	-	3'-F	CF_3	Me
2-4	Me	-	3'-Cl	CF_3	Me
2-5	Me	-	4'-F	CF_3	Me
2-6	Me	-	4'-Cl	CF_3	Me
2-7	Me	-	4'-Br	CF_3	Me
2-8	Me	-	4'-Me	CF_3	Me
2-9	Me	-	4'-CF_3	CF_3	Me
2-10	Me	-	4'-OMe	CF_3	Me
2-11	Me	-	4'-OCF_3	CF_3	Me
2-12	Me	-	4'-SMe	CF_3	Me
2-13	Me	-	3',4'-diCl	CF_3	Me
2-14	Me	-	3'-Me-4'-Cl	CF_3	Me
2-15	Me	-	3'-F-4'-Cl	CF_3	Me
2-16	Me	-	3'-Cl-4'-CF_3	CF_3	Me
2-17	Me	-	2',4'-diF	CF_3	Me
2-18	Me	-	2',5'-diF	CF_3	Me
2-19	Me	-	4'-SiMe$_3$	CF_3	Me
2-20	Me	-	-	CHF_2	Me
2-21	Me	-	4'-F	CHF_2	Me
2-22	Me	-	4'-Cl	CHF_2	Me
2-23	Me	-	4'-Me	CHF_2	Me
2-24	Me	-	4'-CF_3	CHF_2	Me
2-25	Et	-	-	CF_3	Me

[A table 7]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4	R^6
2-26	Et	-	4'-F	CF_3	Me
2-27	Et	-	4'-Cl	CF_3	Me
2-28	Et	-	4'-Me	CF_3	Me
2-29	Et	-	4'-CF_3	CF_3	Me
2-30	CF_3	-	-	CF_3	Me
2-31	CF_3	-	4'-F	CF_3	Me
2-32	CF_3	-	4'-Cl	CF_3	Me
2-33	CF_3	-	4'-Me	CF_3	Me
2-34	CF_3	-	4'-CF_3	CF_3	Me
2-35	c-Pr	-	-	CF_3	Me
2-36	c-Pr	-	4'-F	CF_3	Me
2-37	c-Pr	-	4'-Cl	CF_3	Me
2-38	c-Pr	-	4'-Me	CF_3	Me
2-39	c-Pr	-	4'-CF_3	CF_3	Me
2-40	$CH=CH_2$	-	-	CF_3	Me
2-41	$CH=CH_2$	-	4'-F	CF_3	Me
2-42	$CH=CH_2$	-	4'-Cl	CF_3	Me
2-43	$CH=CH_2$	-	4'-Me	CF_3	Me
2-44	$CH=CH_2$	-	4'-CF_3	CF_3	Me
2-45	$-C\equiv CH$	-	-	CF_3	Me
2-46	$-C\equiv CH$	-	4'-F	CF_3	Me
2-47	$-C\equiv CH$	-	4'-Cl	CF_3	Me
2-48	$-C\equiv CH$	-	4'-Me	CF_3	Me
2-49	$-C\equiv CH$	-	4'-CF_3	CF_3	Me
2-50	Me	4-F	-	CF_3	Me

[A table 8]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4	R^6
2-51	Me	4-F	4'-F	CF_3	Me
2-52	Me	4-F	4'-Cl	CF_3	Me
2-53	Me	4-F	4'-Me	CF_3	Me
2-54	Me	4-F	4'-CF_3	CF_3	Me
2-54	Me	4-F	4'-CF_3	CF_3	Me
2-56	OMe	-	2'-F	CF_3	Me
2-57	OMe	-	3'-F	CF_3	Me
2-58	OMe	-	3'-Cl	CF_3	Me
2-59	OMe	-	4'-F	CF_3	Me
2-60	OMe	-	4'-Cl	CF_3	Me
2-61	OMe	-	4'-Br	CF_3	Me
2-62	OMe	-	4'-Me	CF_3	Me
2-63	OMe	-	4'-CF_3	CF_3	Me
2-64	OMe	-	4'-OMe	CF_3	Me
2-65	OMe	-	4'-OCF_3	CF_3	Me
2-66	OMe	-	4'-SMe	CF_3	Me
2-67	OMe	-	3',4'-diCl	CF_3	Me
2-68	OMe	-	3'-Me-4'-Cl	CF_3	Me
2-69	OMe	-	3'-F-4'-Cl	CF_3	Me
2-70	OMe	-	3'-Cl-4'-CF_3	CF_3	Me
2-71	OMe	-	2',4'-diF	CF_3	Me
2-72	OMe	-	2',5'-diF	CF_3	Me
2-73	OMe	-	4'-$SiMe_3$	CF_3	Me
2-74	Oi-Pr	-	-	CF_3	Me
2-75	Oi-Pr	-	4'-F	CF_3	Me

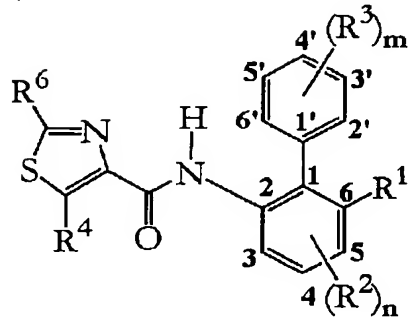
[A table 9]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4	R^6
2-76	Oi-Pr	-	4'-Cl	CF_3	Me
2-77	Oi-Pr	-	4'-Me	CF_3	Me
2-78	Oi-Pr	-	4'-CF_3	CF_3	Me
2-79	OCF_3	-	-	CF_3	Me
2-80	OCF_3	-	4'-F	CF_3	Me
2-81	OCF_3	-	4'-Cl	CF_3	Me
2-82	OCF_3	-	4'-Me	CF_3	Me
2-83	OCF_3	-	4'-CF_3	CF_3	Me
2-84	Cl	-	-	CF_3	Me
2-85	Cl	-	2'-F	CF_3	Me
2-86	Cl	-	3'-F	CF_3	Me
2-87	Cl	-	3'-Cl	CF_3	Me
2-88	Cl	-	4'-F	CF_3	Me
2-89	Cl	-	4'-Cl	CF_3	Me
2-90	Cl	-	4'-Br	CF_3	Me
2-91	Cl	-	4'-Me	CF_3	Me
2-92	Cl	-	4'-CF_3	CF_3	Me
2-93	Cl	-	4'-OMe	CF_3	Me
2-94	Cl	-	4'-OCF_3	CF_3	Me
2-95	Cl	-	4'-SMe	CF_3	Me
2-96	Cl	-	3',4'-diCl	CF_3	Me
2-97	Cl	-	3'-Me-4'-Cl	CF_3	Me
2-98	Cl	-	3'-F-4'-Cl	CF_3	Me
2-99	Cl	-	3'-Cl-4'-CF_3	CF_3	Me
2-100	Cl	-	2',4'-diF	CF_3	Me

[A table 10]

2-101	Cl	-	2',5'-diF	CF_3	Me
2-102	Cl	-	4'-SiMe$_3$	CF_3	Me

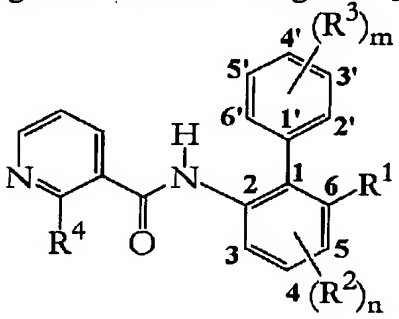
general formula -izing 9 -- [Formula 9]



The compound come out of and shown.
[A table 11]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4	R^6
3-1	Me	-	-	CF_3	Me
3-2	Me	-	4'-F	CF_3	Me
3-3	Me	-	4'-Cl	CF_3	Me
3-4	Me	-	4'-Me	CF_3	Me
3-5	Me	-	4'-CF_3	CF_3	Me
3-6	OMe	-	-	CF_3	Me
3-7	OMe	-	4'-F	CF_3	Me
3-8	OMe	-	4'-Cl	CF_3	Me
3-9	OMe	-	4'-Me	CF_3	Me
3-10	OMe	-	4'-CF_3	CF_3	Me
3-11	Cl	-	-	CF_3	Me
3-12	Cl	-	4'-F	CF_3	Me
3-13	Cl	-	4'-Cl	CF_3	Me
3-14	Cl	-	4'-Me	CF_3	Me
3-15	Cl	-	4'-CF_3	CF_3	Me

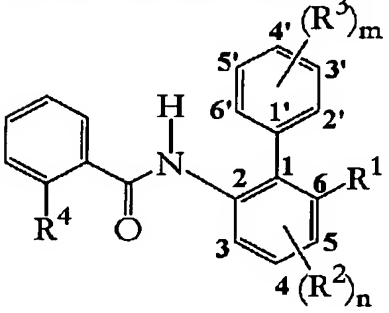
general formula -izing 10 -- [Formula 10]



The compound come out of and shown.
[A table 12]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4
4-1	Me	-	-	Cl
4-2	Me	-	4'-F	Cl
4-3	Me	-	4'-Cl	Cl
4-4	Me	-	4'-Me	Cl
4-5	Me	-	4'-CF_3	Cl
4-6	Me	-	4'-OMe	Cl
4-7	Me	-	4'-OCF_3	Cl
4-8	Me	-	4'-SMe	Cl
4-9	Me	-	-	CF_3
4-10	Me	-	4'-F	CF_3
4-11	Me	-	4'-Cl	CF_3
4-12	Me	-	4'-Me	CF_3
4-13	Me	-	4'-CF_3	CF_3
4-14	OMe	-	-	Cl
4-15	OMe	-	4'-F	Cl
4-16	OMe	-	4'-Cl	Cl
4-17	OMe	-	4'-Me	Cl
4-18	OMe	-	4'-CF_3	Cl
4-19	Cl	-	-	Cl
4-20	Cl	-	4'-F	Cl
4-21	Cl	-	4'-Cl	Cl
4-22	Cl	-	4'-Me	Cl
4-23	Cl	-	4'-CF_3	Cl

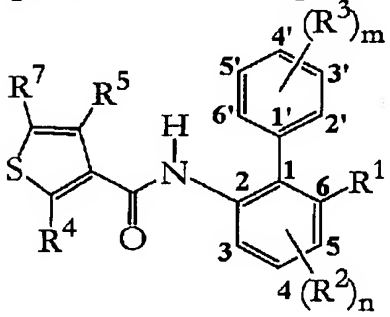
general formula -izing 11 -- [Formula 11]



The compound come out of and shown.
[A table 13]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4
5-1	Me	-	-	CF_3
5-2	Me	-	4'-F	CF_3
5-3	Me	-	4'-Cl	CF_3
5-4	Me	-	4'-Me	CF_3
5-5	Me	-	4'-CF_3	CF_3
5-6	OMe	-	-	CF_3
5-7	OMe	-	4'-F	CF_3
5-8	OMe	-	4'-Cl	CF_3
5-9	OMe	-	4'-Me	CF_3
5-10	OMe	-	4'-CF_3	CF_3
5-11	Cl	-	-	CF_3
5-12	Cl	-	4'-F	CF_3
5-13	Cl	-	4'-Cl	CF_3
5-14	Cl	-	4'-Me	CF_3
5-15	Cl	-	4'-CF_3	CF_3

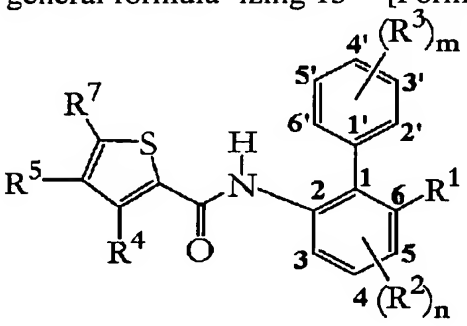
general formula -izing 12 -- [Formula 12]



The compound come out of and shown.
[A table 14]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4	R^5	R^7
6-1	Me	-	-	CF_3	H	H
6-2	Me	-	4'-F	CF_3	H	H
6-3	Me	-	4'-Cl	CF_3	H	H
6-4	Me	-	4'-Me	CF_3	H	H
6-5	Me	-	4'-CF_3	CF_3	H	H
6-6	OMe	-	-	CF_3	H	H
6-7	OMe	-	4'-F	CF_3	H	H
6-8	OMe	-	4'-Cl	CF_3	H	H
6-9	OMe	-	4'-Me	CF_3	H	H
6-10	OMe	-	4'-CF_3	CF_3	H	H
6-11	Cl	-	-	CF_3	H	H
6-12	Cl	-	4'-F	CF_3	H	H
6-13	Cl	-	4'-Cl	CF_3	H	H
6-14	Cl	-	4'-Me	CF_3	H	H
6-15	Cl	-	4'-CF_3	CF_3	H	H

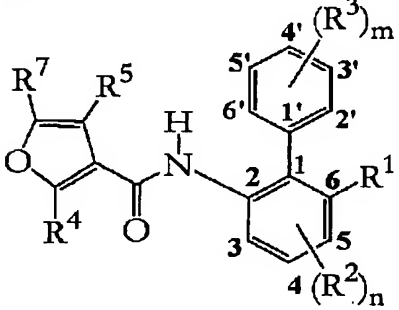
general formula -izing 13 -- [Formula 13]



The compound come out of and shown.
[A table 15]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4	R^5	R^7
7-1	Me	-	-	CF_3	H	H
7-2	Me	-	4'-F	CF_3	H	H
7-3	Me	-	4'-Cl	CF_3	H	H
7-4	Me	-	4'-Me	CF_3	H	H
7-5	Me	-	4'-CF_3	CF_3	H	H
7-6	OMe	-	-	CF_3	H	H
7-7	OMe	-	4'-F	CF_3	H	H
7-8	OMe	-	4'-Cl	CF_3	H	H
7-9	OMe	-	4'-Me	CF_3	H	H
7-10	OMe	-	4'-CF_3	CF_3	H	H
7-11	Cl	-	-	CF_3	H	H
7-12	Cl	-	4'-F	CF_3	H	H
7-13	Cl	-	4'-Cl	CF_3	H	H
7-14	Cl	-	4'-Me	CF_3	H	H
7-15	Cl	-	4'-CF_3	CF_3	H	H

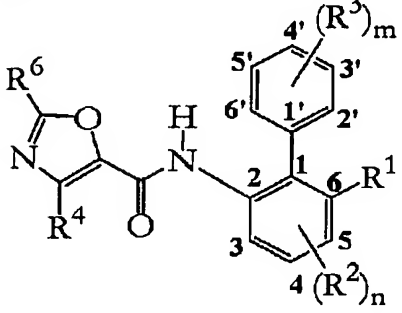
general formula -izing 14 -- [Formula 14]



The compound come out of and shown.
[A table 16]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4	R^5	R^7
8-1	Me	-	-	CF_3	H	H
8-2	Me	-	4'-F	CF_3	H	H
8-3	Me	-	4'-Cl	CF_3	H	H
8-4	Me	-	4'-Me	CF_3	H	H
8-5	Me	-	4'-CF_3	CF_3	H	H
8-6	OMe	-	-	CF_3	H	H
8-7	OMe	-	4'-F	CF_3	H	H
8-8	OMe	-	4'-Cl	CF_3	H	H
8-9	OMe	-	4'-Me	CF_3	H	H
8-10	OMe	-	4'-CF_3	CF_3	H	H
8-11	Cl	-	-	CF_3	H	H
8-12	Cl	-	4'-F	CF_3	H	H
8-13	Cl	-	4'-Cl	CF_3	H	H
8-14	Cl	-	4'-Me	CF_3	H	H
8-15	Cl	-	4'-CF_3	CF_3	H	H

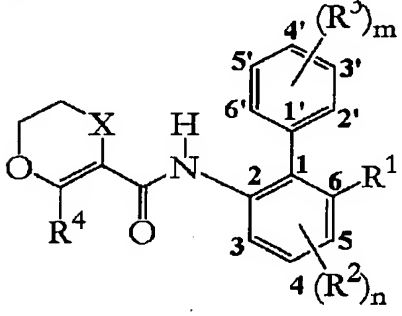
general formula -izing 15 -- [Formula 15]



The compound come out of and shown.
[A table 17]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4
9-1	Me	-	-	CF_3
9-2	Me	-	4'-F	CF_3
9-3	Me	-	4'-Cl	CF_3
9-4	Me	-	4'-Me	CF_3
9-5	Me	-	4'-CF_3	CF_3
9-6	OMe	-	-	CF_3
9-7	OMe	-	4'-F	CF_3
9-8	OMe	-	4'-Cl	CF_3
9-9	OMe	-	4'-Me	CF_3
9-10	OMe	-	4'-CF_3	CF_3
9-11	Cl	-	-	CF_3
9-12	Cl	-	4'-F	CF_3
9-13	Cl	-	4'-Cl	CF_3
9-14	Cl	-	4'-Me	CF_3
9-15	Cl	-	4'-CF_3	CF_3

general formula -izing 16 -- [Formula 16]



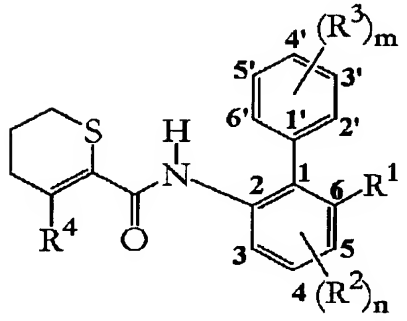
The compound come out of and shown.
[A table 18]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4	X
10-1	Me	-	-	Me	S
10-2	Me	-	4'-F	Me	S
10-3	Me	-	4'-Cl	Me	S
10-4	Me	-	4'-Me	Me	S
10-5	Me	-	4'-CF_3	Me	S
10-6	OMe	-	-	Me	S
10-7	OMe	-	4'-F	Me	S
10-8	OMe	-	4'-Cl	Me	S
10-9	OMe	-	4'-Me	Me	S
10-10	OMe	-	4'-CF_3	Me	S
10-11	Cl	-	-	Me	S
10-12	Cl	-	4'-F	Me	S
10-13	Cl	-	4'-Cl	Me	S
10-14	Cl	-	4'-Me	Me	S
10-15	Cl	-	4'-CF_3	Me	S
10-16	Me	-	-	Me	CH_2
10-17	Me	-	4'-F	Me	CH_2
10-18	Me	-	4'-Cl	Me	CH_2
10-19	Me	-	4'-Me	Me	CH_2
10-20	Me	-	4'-CF_3	Me	CH_2
10-21	OMe	-	-	Me	CH_2
10-22	OMe	-	4'-F	Me	CH_2
10-23	OMe	-	4'-Cl	Me	CH_2
10-24	OMe	-	4'-Me	Me	CH_2
10-25	OMe	-	4'-CF_3	Me	CH_2

[A table 19]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4	X
10-26	Cl	-	-	Me	CH_2
10-27	Cl	-	4'-F	Me	CH_2
10-28	Cl	-	4'-Cl	Me	CH_2
10-29	Cl	-	4'-Me	Me	CH_2
10-30	Cl	-	4'-CF_3	Me	CH_2

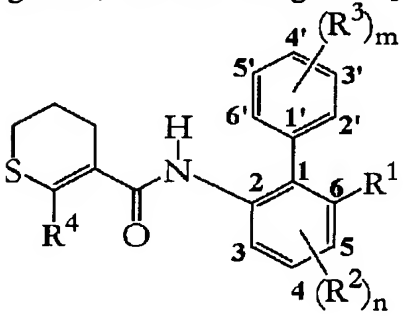
general formula -izing 17 -- [Formula 17]



The compound come out of and shown.
[A table 20]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4
11-1	Me	-	-	Me
11-2	Me	-	4'-F	Me
11-3	Me	-	4'-Cl	Me
11-4	Me	-	4'-Me	Me
11-5	Me	-	4'-CF_3	Me
11-6	OMe	-	-	Me
11-7	OMe	-	4'-F	Me
11-8	OMe	-	4'-Cl	Me
11-9	OMe	-	4'-Me	Me
11-10	OMe	-	4'-CF_3	Me
11-11	Cl	-	-	Me
11-12	Cl	-	4'-F	Me
11-13	Cl	-	4'-Cl	Me
11-14	Cl	-	4'-Me	Me
11-15	Cl	-	4'-CF_3	Me

general formula -izing 18 -- [Formula 18]



The compound come out of and shown.
[A table 21]

番号	R^1	$(R^2)n$	$(R^3)m$	R^4
12-1	Me	-	-	Me
12-2	Me	-	4'-F	Me
12-3	Me	-	4'-Cl	Me
12-4	Me	-	4'-Me	Me
12-5	Me	-	4'-CF_3	Me
12-6	OMe	-	-	Me
12-7	OMe	-	4'-F	Me
12-8	OMe	-	4'-Cl	Me
12-9	OMe	-	4'-Me	Me
12-10	OMe	-	4'-CF_3	Me
12-11	Cl	-	-	Me
12-12	Cl	-	4'-F	Me
12-13	Cl	-	4'-Cl	Me
12-14	Cl	-	4'-Me	Me
12-15	Cl	-	4'-CF_3	Me

[0042] in addition, the above-mentioned table -- setting -- Me -- a methyl group -- Et -- an ethyl group -- in Pr, i-Pr means an isopropyl group and c-Pr means a cyclo propyl group for a propyl group. Moreover, the figure attached before the substituent expresses the permutation location on the benzene ring.
[0043] Next, the example of pharmaceutical preparation is shown. In addition, the section expresses the weight section and this invention compound is shown in a table 21 by the number of a publication from said table 1.
The example of pharmaceutical preparation 1 this invention compound 1-1 to 1-102, 2-1 to 2-102, 3-1 to 3-15, 4-1 to 4-23, 5-1 to 5-15, 6-1 to 6-15, 7-1 to 7-15, 8-1 to 8-15, 9-1 to 9-15, 10-1 to 10-30, 11-1 to 11-15, Each water dispersible powder is obtained by [of 12-1 to 12-15] improving the 50 sections, the ligninsulfonic acid calcium 3 section, the sodium-lauryl-sulfate 2 section, and the synthetic water oxidation silicon 45 section respectively grinding mixing.
The example of pharmaceutical preparation 2 this invention compound 1-1 to 1-102, 2-1 to 2-102, 3-1 to 3-15, 4-1 to 4-23, 5-1 to 5-15, 6-1 to 6-15, 7-1 to 7-15, 8-1 to 8-15, 9-1 to 9-15, 10-1 to 10-30, 11-1 to 11-15, 12-1 to 12-15 respectively the 20 sections and the sorbitan trioleate 1.5 section After mixing with the water-solution 28.5 section containing the polyvinyl alcohol 2 section and pulverizing by the wet-grinding method, The water-solution 40 section which contains the xanthan gum 0.05 section and the aluminum magnesium silicate 0.1 section in this is added, the propylene glycol 10 section is added further, stirring mixing is carried out, and each floor bull pharmaceutical preparation is obtained.
The example of pharmaceutical preparation 3 this invention compound 1-1 to 1-102, 2-1 to 2-102, 3-1 to 3-15, 4-1 to 4-23, 5-1 to 5-15, 6-1 to 6-15, 7-1 to 7-15, 8-1 to 8-15, 9-1 to 9-15, 10-1 to 10-30, 11-1 to 11-15, Each powder material is obtained by [of 12-1 to 12-15] improving the two sections, the kaolin clay 88 section, and the talc 10 section respectively grinding mixing.
[0044] The example of pharmaceutical preparation 4 this invention compound 1-1 to 1-102, 2-1 to 2-102, 3-1 to 3-15, 4-1 to 4-23, 5-1 to 5-15, 6-1 to 6-15, 7-1 to 7-15, 8-1 to 8-15, 9-1 to 9-15, 10-1 to 10-30, 11-1 to 11-15, Each emulsion is obtained by [of 12-1 to 12-15] often mixing the five sections, the polyoxyethylene styryl phenyl ether 14 section, the calcium dodecylbenzenesulfonate 6 section, and the xylene 75 section respectively.

The example of pharmaceutical preparation 5 this invention compound 1-1 to 1-102, 2-1 to 2-102, 3-1 to 3-15, 4-1 to 4-23, 5-1 to 5-15, 6-1 to 6-15, 7-1 to 7-15, 8-1 to 8-15, 9-1 to 9-15, 10-1 to 10-30, 11-1 to 11-15, After [12-1 to 12-15] improving the two sections, the synthetic water oxidization silicon 1 section, the ligninsulfonic acid calcium 2 section, the bentonite 30 section, and the kaolin clay 65 section respectively grinding mixing, adding water and kneading together, each granule is obtained by carrying out granulation desiccation.

The example of pharmaceutical preparation 6 this invention compound 1-1 to 1-102, 2-1 to 2-102, 3-1 to 3-15, 4-1 to 4-23, 5-1 to 5-15, 6-1 to 6-15, 7-1 to 7-15, 8-1 to 8-15, 9-1 to 9-15, 10-1 to 10-30, 11-1 to 11-15, Each floor bull pharmaceutical preparation is respectively obtained by mixing the ten sections and the white carbon 35 section containing the polyoxyethylene-alkyl-ether sulfate ammonium salt 50 section of 12-1 to 12-15, and the water 55 section, and pulverizing by the wet-grinding method.

[0045] Next, the example of a trial shows that this invention compound is useful as a germicide for plantation arts. In addition, this invention compound is shown in a table 1 - a table 21 by the number of a publication. Moreover, it is N-(4'-chloro-6-fluoro-biphenyl-2-IRU)-1-methyl as a compound for a comparison. - 3-trifluoromethyl-1H-pyrazole-4-carboxylic amide (it is hereafter described as compound A.) was used. Compound A is the compound of the compound number 3.20 given in a WO-97 No. - 08148 official report. The prevention effectiveness of this invention compound carried out macro-scopic observation of the area of the necrotic lesion on the sample offering vegetation at the time of examination, and evaluated it using the following characteristic by measuring the area of the necrotic lesion of a non-processed division, and the area of the necrotic lesion of this invention compound processing division.

5: A necrotic lesion is not accepted at all.

4: For the 31% - 50%1:necrotic lesion area of a non-processed division, the 51% - 75%0:necrotic lesion area of a non-processed division is [necrotic lesion area / the 10%or less3:necrotic lesion area of a non-processed division / the 11% - 30%2:necrotic lesion area of a non-processed division] 76% or more of a non-processed division [0046]. The example 1 of a trial: Cucumber gray mold disease prevention trial (preventive effect)

Sandy loam is put in a plastics pot, seeding of the cucumber (the Sagami half white) was carried out, and it was grown for 12 days in the greenhouse. Then, after considering each of this invention compound 1-6, 1-8, 1-60, 1-89, 2-6, 2-10, and compound A (object compound) as floor bull pharmaceutical preparation according to the example 6 of pharmaceutical preparation, it diluted with water to predetermined concentration (200 ppm and 50 ppm), and the foliage application of it was carried out so that it might adhere to the leaf surface of the cucumber enough. The vegetation after spraying was air-dried, and the gray mold contagion spore content PDA culture medium was placed on the cucumber leaf surface, and was inoculated. The prevention effectiveness was investigated after putting for five days on 10 degrees C and the bottom of humid after inoculation. A result is shown in a table 22.

[A table 22]

化合物番号	有効成分濃度	効力評価	有効成分濃度	効力評価
1－6	200ppm	5	50ppm	5
1－8	200ppm	5	50ppm	4
1－60	200ppm	5	50ppm	5
1－89	200ppm	5	50ppm	5
2－6	200ppm	4	50ppm	4
2－10	200ppm	4	50ppm	4
A（対象化合物）	200ppm	2	50ppm	0

[0047] The example 2 of a trial: Japanese radish black soot disease prevention trial (preventive effect)
Sandy loam was put in the plastics pot, seeding of the Japanese radish (early crop 40-day Japanese radish) was carried out, and it was made to grow for five days in a greenhouse. After considering each of this invention compound 1-6, 1-8, 1-60, 1-89, 2-6, 2-10, and compound A (object compound) as floor bull pharmaceutical preparation according to the example 6 of pharmaceutical preparation, it diluted with water to predetermined concentration (200 ppm and 50 ppm), and the foliage application of it was carried out so that it might adhere to the Japanese radish enough. The vegetation after spraying was air-dried and the spray inocuration of the spore of cabbage black soot contagion was carried out. The prevention effectiveness was investigated after putting in a greenhouse further every night on 23 degrees C and the bottom of humid after inoculation on the 3rd. A result is shown in a table 23.
[A table 23]

化合物番号	有効成分濃度	効力評価	有効成分濃度	効力評価
1－6	200ppm	5	50ppm	5
1－8	200ppm	4	50ppm	4
1－60	200ppm	4	50ppm	4
1－89	200ppm	5	50ppm	4
2－6	200ppm	5	50ppm	5
2－10	200ppm	5	50ppm	4
A（対象化合物）	200ppm	1	50ppm	0

[0048] The example 3 of a trial: The cucumber Japanese noodles **** prevention effectiveness trial (preventive effect)
Sandy loam is put in a plastics pot, seeding of the cucumber (the Sagami half white) was carried out, and it was grown for 12 days in the greenhouse. After considering each of this invention compound 1-5, 1-6, 1-8, 1-9, 1-89, 2-6, 4-3, and 5-5 as floor bull pharmaceutical preparation according to the example 6 of pharmaceutical preparation, it diluted with water and was made predetermined concentration (500 ppm), and the foliage application of it was carried out so that it might adhere to the cucumber leaf surface enough. The vegetation after spraying was air-dried and the spore of a cucumber powdery mildew was inoculated. The prevention effectiveness was investigated after putting on the bottom of after [inoculation] 23 degrees C on the 12th. Consequently, the necrotic lesion area on the vegetation of this invention compound 1-5, 1-6, 1-8, 1-9, 1-89, 2-6, 4-3, and a 5-5 processing division was 10% or less of the necrotic lesion area of a non-processed division.
[0049]
[Effect of the Invention] this invention compound has the outstanding plant disease prevention validity.

[Translation done.]

PATENT ABSTRACTS OF JAPAN

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A01N 43/80
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C07D275/02
C07D277/56
C07D307/68
C07D333/06
C07D333/08
C07D401/12
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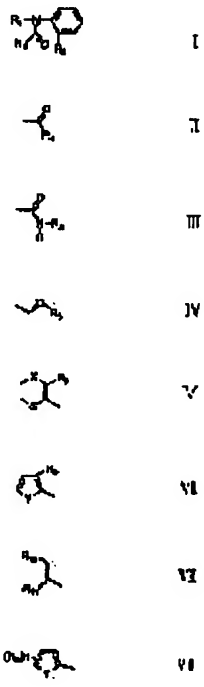
INAMI SHUNICHI

(54) N,N'-DISUBSTITUTED ANILINE DERIVATIVE AND MICROBICIDAL AGENT FOR AGRICULTURE AND HORTICULTURE USING THE DERIVATIVE AS ACTIVE COMPONENT

(57)Abstract:
PURPOSE: To obtain a new N,N'-disubstituted aniline derivative having a broad microbicidal spectrum, especially extremely excellent effect against Botrytis cinerea, etc.
CONSTITUTION: This is a compound of formula I [R1 is one selected from formula II to formula IV (R4 is a 1-8C alkyl, a 1-8C haloalkyl or phenoxymetyl; R5 is a 1-8C alkyl or phenyl; R6 is a 1-8C alkyl or a 1-8C alkoxyalkyl; R7 is a 1-8C alkyl); R2 is an O-R8-substituted phenyl, formula V, formula VI (R8 is a halogen, a 1-8C alkyl or a 1-8C haloalkyl; X is CH2 or O or S), 3- trifluoromethyl-1-methyl-4-pyrazolyl, 4-trifluoromethyl-2-methyl-5-thiazolyl, etc.; R3 is a phenyl substituted with R9, formula VII, formula VIII (R9 is H, a 1-8C alkyl, a 1-8C haloalkyl; R10 and R11 are each H, a 1-8C alkyl; n=1-3), etc.], e.g. N-acetyl-N-(2-phenyl)phenyl 4-triluoromethyl-2-methylthiazole-5-carbonamide.



LEGAL STATUS

[Date of request for examination]

[Date of sending the examiner's decision of rejection]

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[Date of final disposal for application]

[Patent number]

[Date of registration]

[Number of appeal against examiner's decision of rejection]

[Date of requesting appeal against examiner's decision of rejection]

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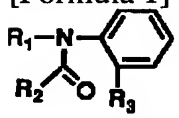
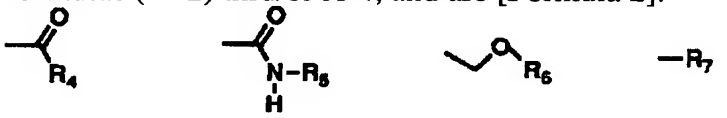
CLAIMS

[Claim(s)]
[Claim 1] General formula (1) (** 1)
[Formula 1]



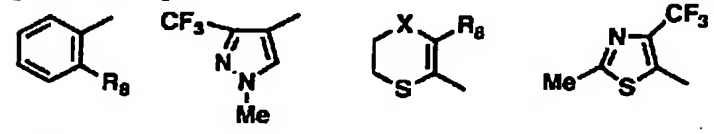
(1)

The inside of [type and R1 mean the radical of either A-1 expressed with the following chemical formulas (** 2) thru/or A-4, and are [Formula 2].

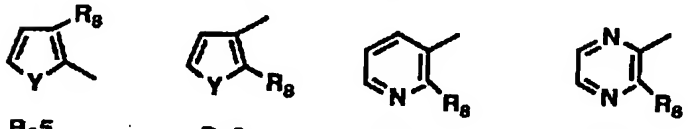


A-1 A-2 A-3 A-4

the inside of a formula, and R4 -- the alkyl group of 1-8 carbon numbers, and the halo alkyl group of 1-8 carbon numbers -- a phenoxymethyl radical -- R5 the alkyl group of 1-8 carbon numbers, or a phenyl group -- expressing -- R6 the alkyl group of 1-8 carbon numbers, and the alkoxyalkyl group of 1-8 carbon numbers -- expressing -- R7 The alkyl group of 1-8 carbon numbers is expressed. R2 The radical of either B-1 expressed with the following chemical formulas (** 3) thru/or B-8 is meant, and it is [Formula 3].

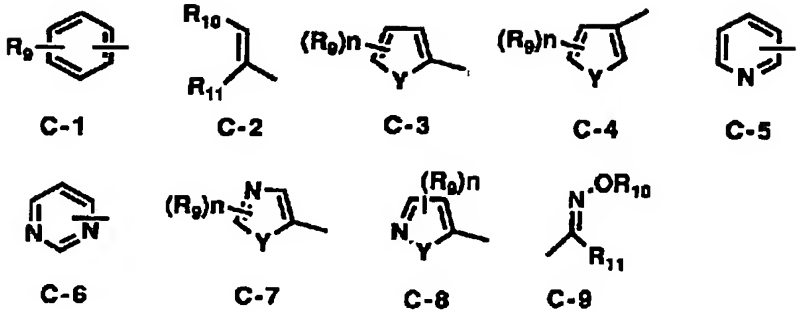


B-1 B-2 B-3 B-4



B-5 B-6 B-7 B-8

(Among a formula, in R8, X expresses a methylene group or an oxygen atom, and Y expresses an oxygen atom or a sulfur atom for a halogen atom, the alkyl group of 1-8 carbon numbers, or the halo alkyl group of 1-8 carbon numbers) R3 The radical of either C-1 expressed with the following chemical formulas (** 4) thru/or C-9 is meant.
[Formula 4]



(Among a formula, in R9, R10 and R11 express a hydrogen atom or the alkyl group of 1-8 carbon numbers, and Y expresses an oxygen atom or a sulfur atom for a hydrogen atom, the alkyl group of 1-8 carbon numbers, and the halo alkyl group of 1-8 carbon numbers.) Moreover, n is R9 when n is two or more for the integer of 1 to 3. Even if the same, you may differ. N [which is expressed with]], and N-JI permutation aniline derivative.

[Claim 2] The germicide for plantation arts which contains according to claim 1 N and N-JI permutation aniline derivative as an active principle.

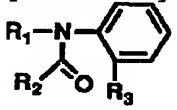
[Translation done.]

* NOTICES *

1.This document has been translated by computer. So the translation may not reflect the original precisely.
2.**** shows the word which can not be translated.
3.In the drawings, any words are not translated.

DETAILED DESCRIPTION

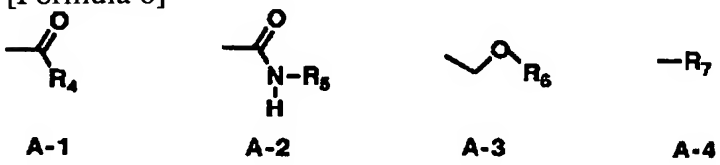
[Detailed Description of the Invention]
[0001]
[Industrial Application] This invention relates to the germicide for plantation arts which contains the carboxylic amide derivative which introduced the acyl group, the alkoxyalkyl group, or the carbamoyl group into the nitrogen atom of an amide group, and this derivative as an active principle.
[0002]
[Description of the Prior Art] It is widely known from the former that extremely various carboxylic amide shows activity as a herbicide and a germicide, and there are also many drugs marketed as a germicide about a benzoic-acid amide or heterocycle carboxylic amide especially. For example, the -isopropyloxy-2-methyl benzanilide or alpha and alpha, and 3 'alpha-trifluoro -3'-isopropyloxy-2-torr anilide is marketed as a germicide to rice sheath blight disease, the rust of wheat, etc. as a benzoic-acid amide. Moreover, as heterocycle carboxylic amide, 5, the 6-dihydro-2-methyl -1, the 4-OKISA tin-3-carboxy anilide -4, and 4-dioxide are marketed for chrysanthemum white rust, 3, and a 4-dihydro-6-methyl-2H-pyran-5-carboxy anilide as a germicide to the rust of wheat.
[0003] Furthermore, when thiazole carboxylic amide checks work of succinic dehydrogenase, it is indicated by having activity to a Rhizoctonia bacillus, Aust.J.Chem., and 36,135-147 (1983) Pestic.Sci., and 38, 1-7 (1993) that pyrazole carboxylic amide has activity to a Rhizoctonia bacillus similarly.
[0004] On the other hand, it is indicated by JP,5-221,994,A and JP,6-199,803,A that the various aromatic-carboxylic-acid anilides which an alkyl group, an alkoxy group, an alkenyl radical, an alkenyloxy radical, the alkynyl group, the alkynyloxy radical, the cyclo alkenyloxy radical, or the phenyl group permuted at least by o- of the amino group have effectiveness in a gray mold (Botorytis bacillus). However, although each compound indicated there examined the sterilization activity over a gray mold about the compound which has a hydrogen atom on the nitrogen atom of an amide, and was indicated concretely there, its prevention effectiveness was low and was not practical.
[0005]
[Problem(s) to be Solved by the Invention] therefore -- while the technical problem of this invention shows the outstanding disease control effectiveness -- crops -- also receiving -- safe -- in addition -- and it is in offering the germicide for plantation arts which has new structure.
[0006]
[The means and operation] for solving invention this invention person etc. completed a header and this invention for N and N-JI permutation aniline derivative showing the powerful prevention effectiveness to a gray mold, as a result of inquiring wholeheartedly, in order to solve said technical problem.
[0007] That is, this invention is a general formula (1) (** 5).
[0008]
[Formula 5]



(1)

The inside of [type and R1 mean the radical of either A-1 expressed with the following chemical formulas (** 6) thru/or A-4, and are [0009].

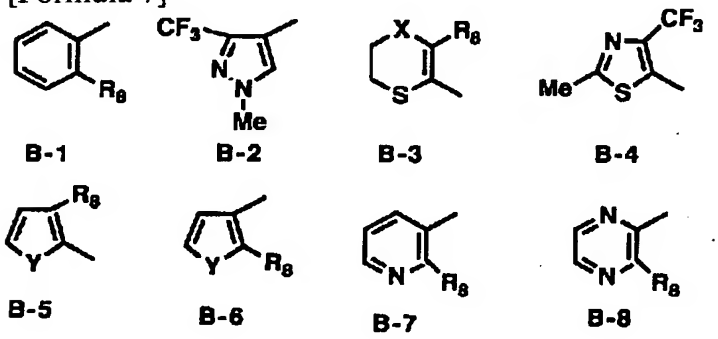
[Formula 6]



A-1 A-2 A-3 A-4

[0010] the inside of a formula, and R4 -- the alkyl group of 1-8 carbon numbers, and the halo alkyl group of 1-8 carbon numbers -- a phenoxymethyl radical -- R5 the alkyl group of 1-8 carbon numbers, or a phenyl group -- expressing -- R6 the alkyl group of 1-8 carbon numbers, and the alkoxyalkyl group of 1-8 carbon numbers -- expressing -- R7 The alkyl group of 1-8 carbon numbers is expressed. R2 The radical of either B-1 expressed with the following chemical formulas (** 7) thru/or B-8 is meant, and it is [0011].

[Formula 7]



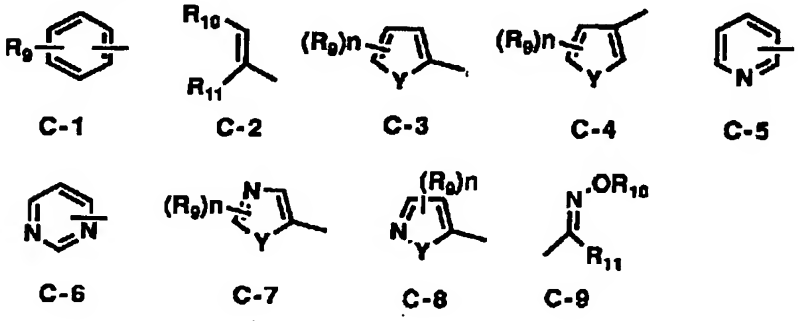
B-1 B-2 B-3 B-4

B-5 B-6 B-7 B-8

[0012] (Among a formula, in R8, X expresses a methylene group or an oxygen atom, and Y expresses an oxygen atom or a sulfur atom for a halogen atom, the alkyl group of 1-8 carbon numbers, or the halo alkyl group of 1-8 carbon numbers) R3 The radical of either C-1 expressed with the following chemical formulas (** 8) thru/or C-9 is meant.

[0013]

[Formula 8]



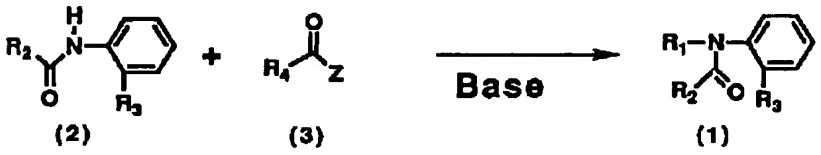
C-1 C-2 C-3 C-4 C-5

C-6 C-7 C-8 C-9

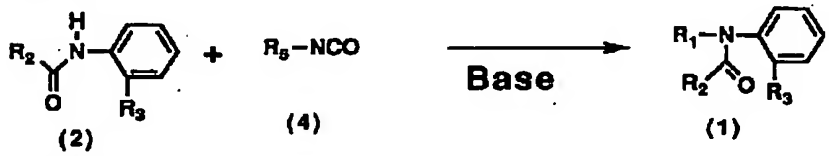
[0014] (Among a formula, in R9, R10 and R11 express a hydrogen atom or the alkyl group of 1-8 carbon numbers, and Y expresses an oxygen atom or a sulfur atom for a hydrogen atom, the alkyl group of 1-8 carbon numbers, and the halo alkyl group of 1-8 carbon numbers.) Moreover, n is R9 when n is two or more for the integer of 1 to 3. Even if the same, you may differ. It is the germicide for plantation arts which contains N [which is expressed with]], and N-JI permutation aniline derivative, and this derivative as an active principle.

[0015] The amide derivative expressed with the general formula (1) of this invention is a new compound, and can be manufactured by making the compound expressed with the carboxylic amide and

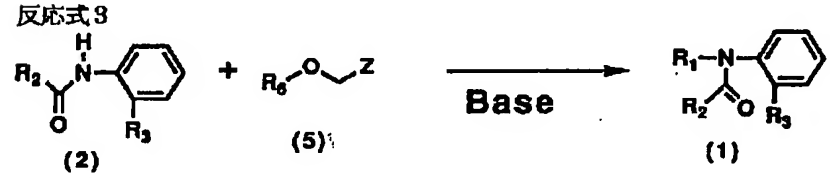
the general formula (3) which are expressed with a general formula (2), (4), (5), or (6) by the approach expressed with the following reaction formula 1 thru/or 4 (** 9) react to the bottom of base existence.
[0016]
[Formula 9]
反応式1



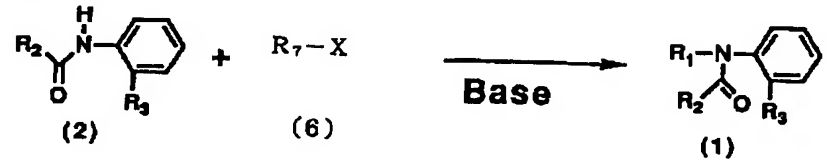
反応式2



反応式3



反応式4



[0017] (R1 expresses the aforementioned radical A-2 with a reaction formula 1 for the aforementioned radical A-1 by the reaction formula 2 among a formula, a reaction formula 4 expresses the aforementioned radical A-4 for the aforementioned radical A-3 by the reaction formula 3, R4, R5, R6, and R7 express the same semantics as the above, and Z expresses chlorine or a bromine.)
[0018] As a solvent which can be used for this invention, that what is necessary is just inactive, aromatic hydrocarbon, such as aliphatic hydrocarbon, such as aprotic polar solvents, such as ether, such as the ether and a tetrahydrofuran, dimethylformamide, dimethyl sulfoxide, and dimethyl imidazolinone, a hexane, and the petroleum ether, benzene, and toluene, is mentioned to a reaction, and these mixed solvents can also be used for it.
[0019] As a base used for this invention reaction, the hydride of alkali metal and alkaline earth metal, For example, the amide of alkali metal, such as sodium hydride and potassium hydride, For example, lithium amide, sodium amide, etc.; The hydroxide of alkali metal and alkaline earth metal, For example, the amide of alkali metal, such as a sodium hydroxide, a potassium hydroxide, and a calcium hydroxide, For example, carbonates of alkali metal and alkaline earth metal, such as lithium amide and sodium amide, For example, a sodium carbonate, potassium carbonate, a calcium carbonate, a magnesium carbonate, etc., The hydrogencarbonate of alkali metal and alkaline earth metal, for example, a sodium hydrogencarbonate, A potassium hydrogencarbonate and alkali-metal alkyl, for example, methyl lithium, Butyl lithium, a phenyl lithium, methyl magnesium chloride, The alkoxide of alkali metal and alkaline earth metal, for example, sodium methoxide, A sodium ethoxide, potassium-t-butoxide, dimethoxy magnesium, etc., Various organic base, for example, triethylamine, pyridine, N, and N-dimethylaniline, N-methyl piperidine, a lutidine, 4-dimethylaminopyridine, etc. are mentioned, and they are sodium hydride and sodium amide especially preferably. Although especially the amount of these

bases used is not restricted, it is used from five-mol % for 20 mol over% to the carboxylic amide preferably expressed with a general formula (2).
[0020] The compound preferably used as a germicide for plantation arts with N [of the general formula (1) concerning this invention which can be manufactured by the above manufacture approach], and N-JI permutation aniline derivative The following table [1st] (Tables 1 and 2), It enumerates to the 2nd table (Tables 3-8), the 3rd table (Tables 9 and 10), the 4th table (Tables 11-16), the 5th table (Tables 17 and 18), the 6th table (Tables 19 and 20), the 7th table (Tables 21 and 22), and the 8th table (Tables 23 and 24).
[0021]
[Table 1]
The 1st table (in the case of R2=2-chlorophenyl)
---------- R1 R3 ---------- Acetyl Phenyl Acetyl Isopropenyl ETANOIRU Phenyl ETANOIRU Isopropenyl Propanoyl Phenyl Propanoyl Isopropenyl The butanoyl Phenyl The butanoyl Isopropenyl PENTA noil Phenyl PENTA noil Isopropenyl Hexa noil Phenyl Hexa noil Isopropenyl N-ethyl carbamoyl Phenyl N-ethyl carbamoyl Isopropenyl N-methylcarbamoyl Phenyl N-methylcarbamoyl Isopropenyl N-phenylcarbamoyl Phenyl N-phenylcarbamoyl isopropenyl phenoxymethyl Phenyl Phenoxymethyl isopropenyl Methoxymethyl Phenyl ----------
[0022]
[Table 2]
The 1st table (in the case of R2=2-chlorophenyl)
---------- R1 R3 ---------- Methoxymethyl Isopropenyl Methoxyethoxymethyl Phenyl Methoxyethoxymethyl Isopropenyl ---------- [0023]
[Table 3]
The 2nd table (in the case of R2=3-trifluoromethyl-1-methyl-4-pyrazolyl)
---------- R1 R3 ---------- Acetyl Phenyl Acetyl Isopropenyl Acetyl 2-thienyl Acetyl 5-methyl-2-thienyl Acetyl 3-thienyl acetyl 2-furil Acetyl 2-pyridyl Acetyl 2-pyrimidyl Acetyl 5-thiazolyl Acetyl 5-iso oxazolyl Acetyl 1-(methoxy imino) ethyl ETANOIRU Phenyl ETANOIRU Isopropenyl ETANOIRU 2-thienyl ETANOIRU 5-methyl-2-thienyl ETANOIRU 3-thienyl ETANOIRU 2-furil ETANOIRU 2-pyridyl ETANOIRU 2-pilus midge RUETA noil 5-thiazolyl ---------- ---------- [0024]
[Table 4]
The 2nd table (continuation)
---------- R1 R3 ---------- ETANOIRU 5-iso oxazolyl ETANOIRU 1-(methoxy imino) ethyl Propanoyl Phenyl Propanoyl Isopropenyl Propanoyl 2-thienyl Propanoyl 5-methyl-2-thienyl Propanoyl 3-thienyl Propanoyl 2-furil Propanoyl 2-pyridyl Propanoyl 2-pyrimidyl Propanoyl 5-thiazolyl Propanoyl 5-iso oxazolyl Propanoyl 1-(methoxy imino) ethyl Butanoyl Phenyl Butanoyl Isopropenyl The butanoyl 2-thienyl Butanoyl 5-methyl-2-thienyl The butanoyl 3-thienyl Butanoyl 2-furil Butanoyl 2-pyridyl Butanoyl 2-pyrimidyl ---------- [0025]
[Table 5]
The 2nd table (continuation)
---------- R1 R3 ---------- The butanoyl 5-thiazolyl The butanoyl 5-iso oxazolyl The butanoyl 1-(methoxy imino) ethyl PENTA noil Phenyl PENTA noil Isopropenyl PENTA noil 2-thienyl PENTA noil 5-methyl-2-thienyl PENTA noil 3-thienyl PENTA noil 2-furil PENTA noil 2-pyridyl PENTA noil 2-pyrimidyl PENTA noil 5-thiazolyl PENTA noil 5-iso oxazolyl PENTA noil 1-(methoxy imino) ethyl Hexa noil Phenyl Hexa noil Isopropenyl Hexa noil 2-thienyl Hexa noil 5-methyl-2-thienyl Hexa noil 3-thienyl Hexa noil 2-furil ----------
[0026]
[Table 6]
The 2nd table (continuation)
---------- R1 R3 ---------- Hexa noil 2-pyridyl Hexa noil 2-pyrimidyl Hexa noil 5-thiazolyl Hexa noil 5-iso oxazolyl Hexa noil 1-(methoxy imino) ethyl N-ethyl

carbamoyl phenyl N-ethyl carbamoyl Isopropenyl N-ethyl carbamoyl 2-thienyl N-ethyl carbamoyl 5-methyl-2-thienyl N-ethyl carbamoyl 3-thienyl N-ethyl carbamoyl 2-furil N-ethyl carbamoyl 2-pyridyl N-ethyl carbamoyl 2-pyrimidyl N-ethyl carbamoyl 5-thiazolyl N-ethyl carbamoyl 5-iso oxazolyl N-ethyl carbamoyl 1-(methoxy imino) ethyl N-phenylcarbamoyl Phenyl N-phenylcarbamoyl Isopropenyl N-phenylcarbamoyl 2-thienyl N-phenylcarbamoyl 5-methyl-2-thienyl ---------- [0027]

[Table 7]

The 2nd table (continuation)

---------- R1 R3 ---------- N-phenylcarbamoyl 3-thienyl N-phenylcarbamoyl 2-furil N-phenylcarbamoyl 2-pyridyl N-phenylcarbamoyl 2-pyrimidyl N-phenylcarbamoyl 5-thiazolyl N-phenylcarbamoyl 5-iso oxazolyl N-phenylcarbamoyl 1-(methoxy imino) ethyl Phenoxy methylphenyl Phenoxymethyl isopropenyl Phenoxymethyl 2-thienyl Phenoxymethyl 5-methyl-2-thienyl phenoxymethyl 3-thienyl phenoxymethyl 2-furil Phenoxymethyl 2-pyridyl Phenoxymethyl 2-pyrimidyl Phenoxymethyl 5-thiazolyl Phenoxymethyl 5-iso oxazolyl Phenoxymethyl 1-(methoxy imino) ethyl methoxymethyl Phenyl methoxymethyl Isopropenyl ---------- [0028]

[Table 8]

The 2nd table (continuation)

---------- R1 R3 ---------- Methoxymethyl 2-thienyl Methoxymethyl 5-methyl-2-thienyl Methoxymethyl 3-thienyl Methoxymethyl 2-furil Methoxymethyl 2-pyridyl Methoxymethyl 2-pyrimidyl Methoxymethyl 5-thiazolyl Methoxymethyl 5-iso oxazolyl Methoxymethyl 1-(methoxy imino) ethyl Methoxyethoxymethyl Phenyl Methoxyethoxymethyl Isopropenyl Methoxyethoxymethyl 2-thienyl methoxyethoxymethyl 5-methyl-2-thienyl Methoxyethoxymethyl 3-thienyl Methoxyethoxymethyl 2-furil Methoxyethoxymethyl 2-pyridyl Methoxyethoxymethyl 2-pyrimidyl Methoxyethoxymethyl 5-thiazolyl methoxyethoxymethyl 5-iso oxazolyl Methoxyethoxymethyl 1-(methoxy imino) ethyl ---------- [0029]

[Table 9]

The 3rd table (in the case of R2=3-methyl OKISA tin-2-IRU)

---------- R1 R3 ---------- Acetyl Phenyl Acetyl Isopropenyl ETANOIRU Phenyl ETANOIRU Isopropenyl Propanoyl Phenyl Propanoyl Isopropenyl The butanoyl Phenyl Butanoyl Isopropenyl PENTA noil Phenyl PENTA noil Isopropenyl Hexa noil Phenyl hexa noil Isopropenyl N-ethyl carbamoyl Phenyl N-ethyl carbamoyl Isopropenyl N-methylcarbamoyl Phenyl N-methylcarbamoyl Isopropenyl N-phenylcarbamoyl Phenyl N-phenylcarbamoyl isopropenyl Phenoxymethyl Phenyl phenoxymethyl Isopropenyl ---------- [0030]

[Table 10]

The 3rd table (continuation)

---------- R1 R3 ---------- Methoxymethyl Phenyl Methoxymethyl Isopropenyl Methoxyethoxymethyl Phenyl Methoxyethoxymethyl Isopropenyl ---------- ---------- [0031]

[Table 11]

The 4th table (in the case of R2=4-trifluoromethyl-2-methyl-5-thiazolyl)

---------- R1 R3 ---------- Acetyl Phenyl Acetyl Isopropenyl Acetyl 2-thienyl Acetyl 5-methyl-2-thienyl Acetyl 3-thienyl Acetyl 2-furil Acetyl 2-pyridyl Acetyl 2-pyrimidyl Acetyl 5-thiazolyl Acetyl 5-iso oxazolyl Acetyl 1-(methoxy imino) ethyl ETANOIRU Phenyl ETANOIRU Isopropenyl ETANOIRU 2-thienyl ETANOIRU 5-methyl-2-thienyl ETANOIRU 3-thienyl ETANOIRU 2-furil ETANOIRU 2-pyridyl ETANOIRU 2-pilus midge RUETA noil 5-thiazolyl ---------- ---------- [0032]

[Table 12]

The 4th table (continuation)

---------- R1 R3 ---------- ETANOIRU 5-iso oxazolyl ETANOIRU 1-(methoxy imino) ethyl Propanoyl Phenyl Propanoyl Isopropenyl Propanoyl 2-thienyl

Propanoyl 5-methyl-2-thienyl Propanoyl 3-thienyl Propanoyl 2-furil Propanoyl 2-pyridyl Propanoyl 2-pyrimidyl Propanoyl 5-thiazolyl Propanoyl 5-iso oxazolyl Propanoyl 1-(methoxy imino) ethyl butanoyl Phenyl Butanoyl Isopropenyl Butanoyl 2-thienyl Butanoyl 5-methyl-2-thienyl The butanoyl 3-thienyl Butanoyl 2-furil Butanoyl 2-pyridyl ---------- [0033]

[Table 13]

The 4th table (continuation)

---------- R1 R3 ---------- The butanoyl 2-pyrimidyl The butanoyl 5-thiazolyl Butanoyl 5-iso oxazolyl The butanoyl 1-(methoxy imino) ethyl PENTA noil Phenyl PENTA noil Isopropenyl PENTA noil 2-thienyl PENTA noil 5-methyl-2-thienyl PENTA noil 3-thienyl PENTA noil 2-furil PENTA noil 2-pyridyl PENTA noil 2-pyrimidyl PENTA noil 5-thiazolyl PENTA noil 5-iso oxazolyl PENTA noil 1-(methoxy imino) ethylhexanoyl Phenyl Hexa noil Isopropenyl hexa noil 2-thienyl Hexa noil 5-methyl-2-thienyl Hexa noil 3-thienyl ---------- [0034]

[Table 14]

The 4th table (continuation)

---------- R1 R3 ---------- Hexa noil 2-furil Hexa noil 2-pyridyl Hexa noil 2-pyrimidyl Hexa noil 5-thiazolyl Hexa noil 5-iso oxazolyl Hexa noil 1-(methoxy imino) ethyl N-ethyl carbamoyl Phenyl N-ethyl carbamoyl Isopropenyl N-ethyl carbamoyl 2-thienyl N-ethyl carbamoyl 5-methyl-2-thienyl N-ethyl carbamoyl 3-thienyl N-ethyl carbamoyl 2-furil N-ethyl carbamoyl 2-pyridyl N-ethyl carbamoyl 2-pyrimidyl N-ethyl carbamoyl 5-thiazolyl N-ethyl carbamoyl 5-iso oxazolyl N-ethyl carbamoyl 1-(methoxy imino) ethyl N-phenylcarbamoyl phenyl N-phenylcarbamoyl Isopropenyl N-phenylcarbamoyl 2-thienyl ---------- [0035]

[Table 15]

The 4th table (continuation)

---------- R1 R3 ---------- N-phenylcarbamoyl 5-methyl-2-thienyl N-phenylcarbamoyl 3-thienyl N-phenylcarbamoyl 2-furil N-phenylcarbamoyl 2-pyridyl N-phenylcarbamoyl 2-pyrimidyl N-phenylcarbamoyl 5-thiazolyl N-phenylcarbamoyl 5-iso oxazolyl N-phenylcarbamoyl 1-(methoxy imino) ethyl Phenoxymethyl Phenyl Phenoxymethyl Isopropenyl Phenoxymethyl 2-thienyl Phenoxymethyl 5-methyl-2-thienyl phenoxymethyl 3-thienyl Phenoxymethyl 2-furil Phenoxymethyl 2-pyridyl Phenoxymethyl 2-pyrimidyl Phenoxymethyl 5-thiazolyl Phenoxymethyl 5-iso oxazolyl Phenoxymethyl 1-(methoxy imino) ethyl methoxymethyl phenyl ---------- ---------- [0036]

[Table 16]

The 4th table (continuation)

---------- R1 R3 ---------- Methoxymethyl Isopropenyl Methoxymethyl 2-thienyl Methoxymethyl 5-methyl-2-thienyl Methoxymethyl 3-thienyl Methoxymethyl 2-furil Methoxymethyl 2-pyridyl Methoxymethyl 2-pyrimidyl Methoxymethyl 5-thiazolyl Methoxymethyl 5-iso oxazolyl Methoxymethyl 1-(methoxy imino) ethyl Methoxyethoxymethyl Phenyl Methoxyethoxymethyl Isopropenyl Methoxyethoxymethyl 2-thienyl methoxyethoxymethyl 5-methyl-2-thienyl Methoxyethoxymethyl 3-thienyl Methoxyethoxymethyl 2-furil Methoxyethoxymethyl 2-pyridyl Methoxyethoxymethyl 2-pyrimidyl Methoxyethoxymethyl 5-thiazolyl Methoxyethoxymethyl 5-iso oxazolyl Methoxyethoxymethyl 1-(methoxy imino) ethyl ---------- [0037]

[Table 17]

The 5th table (in the case of R2=3-methyl-2-thienyl)

---------- R1 R3 ---------- Acetyl Phenyl Acetyl Isopropenyl ETANOIRU Phenyl ETANOIRU Isopropenyl Propanoyl Phenyl Propanoyl Isopropenyl The butanoyl Phenyl Butanoyl Isopropenyl PENTA noil Phenyl PENTA noil Isopropenyl Hexa noil Phenyl Hexa noil Isopropenyl N-ethyl carbamoyl Phenyl N-ethyl carbamoyl Isopropenyl N-methylcarbamoyl Phenyl N-methylcarbamoyl Isopropenyl N-phenylcarbamoyl Phenyl N-phenylcarbamoyl isopropenyl Phenoxymethyl Phenyl Phenoxymethyl Isopropenyl ---------- [0038]

[Table 18]

The 5th table (continuation)

---------- R1 R3 ---------- Methoxymethyl Phenyl Methoxymethyl Isopropenyl Methoxyethoxymethyl Phenyl Methoxyethoxymethyl Isopropenyl ---------- ---------- [0039]

[Table 19]

The 6th table (in the case of an R2=2-methyl-3-furil)

---------- R1 R3 ---------- Acetyl Phenyl Acetyl Isopropenyl ETANOIRU Phenyl ETANOIRU Isopropenyl Propanoyl Phenyl Propanoyl Isopropenyl The butanoyl Phenyl Butanoyl Isopropenyl

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---------- R1 R3 ---------- Methoxymethyl Phenyl Methoxymethyl Isopropenyl Methoxyethoxymethyl Phenyl Methoxyethoxymethyl Isopropenyl ---------- ---------- [0039]

[Table 19]

The 6th table (in the case of an R2=2-methyl-3-furil)

---------- R1 R3 ---------- Acetyl Phenyl Acetyl Isopropenyl ETANOIRU Phenyl ETANOIRU Isopropenyl Propanoyl Phenyl Propanoyl Isopropenyl The butanoyl Phenyl Butanoyl Isopropenyl PENTA noil Phenyl PENTA noil Isopropenyl

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Since it became timeout time, translation result display processing is stopped.

* NOTICES *

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EFFECT OF THE INVENTION

[Effect of the Invention] The germicide for plantation arts which contains the compound expressed with the general formula (1) of this invention as an active principle shows the prevention effectiveness which was excellent to the gray mold disease of various crops, such as a cucumber, a tomato, a strawberry, and a grape, and is useful as a germicide for plantation arts.

[Translation done.]

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EXAMPLE

[Example] Next, an example is given and the manufacturing method of this invention compound is explained concretely.
Example 1 N-acetyl-N-(2-phenyl) phenyl THF10ml is made to suspend 0.03g of 60% sodium hydride of composition of 4-trifluoromethyl-2-methylthiazole-5-carboxylic amide, and it is N-(2-phenyl) phenyl under ice-cooling stirring. The THF2ml solution of 0.20g of 4-trifluoromethyl-2-methylthiazole-5-carboxylic amide (0.52mmol) was dropped. After stirring at this temperature for 5 minutes, 0.07g (0.98mmol) of acetic anhydrides was dropped. Carrying out a temperature up to a room temperature gradually, it stirred, the solvent was poured in underwater and ethyl acetate extracted. Sequential washing of the organic layer was carried out with water and saturation sodium bicarbonate water, and it dried with magnesium sulfate. It distilled off under reduced pressure of a solvent, and the specified substance was considered as the crystal and obtained 0.16g (71.7% of yield).
1H NMR(CDCl3, delta value): -- 2.04 (3H, s), 2.69 (3H, s), 7.22-7.37 (4H, m), and 7.40-7.70 (5H, m)
[0057] Example 2 THF10ml is made to suspend 0.03g of 60% sodium hydride of composition of N-(N-ethyl carbamoyl)-N-(2-phenyl) phenyl 4-trifluoromethyl-2-methylthiazole-5-carboxylic amide, and it is N-(2-phenyl) phenyl under ice-cooling stirring. The THF2ml solution of 0.25g of 4-trifluoromethyl-2-methylthiazole-5-carboxylic amide (0.69mmol) was dropped. After stirring at this temperature for 5 minutes, isocyanic acid ethyl 0.05ml was dropped. Carrying out a temperature up to a room temperature gradually, it stirred, the solvent was poured in underwater and ethyl acetate extracted. Sequential washing of the organic layer was carried out with water and saturation sodium bicarbonate water, and it dried with magnesium sulfate. It distilled off under reduced pressure of a solvent, and the specified substance was considered as the crystal and obtained 0.24g (80.0% of yield).
1H NMR(CDCl3, delta value): 1.21 (3H, t J=7.3Hz), 2.57 (3H, s) and 3.41 (2H, m), 7.13-7.18 (2H, m), 7.28-7.50 (7H, m), 8.46(1H, bs) [0058] Some of compounds of this invention manufactured by such example of manufacture are shown in the 9th table (Tables 25-27).
[0059]
[Table 25]
The 9th table ---------- A compound Number R1 R2 R3 NMR (CDCl3, delta value) ---------- 1 Acetyl 4-trifluoromethyl-2-methyl Phenyl 2.04 (3H, s) 2.69 (3H, s), -5-thiazolyl 7.22-7.37 (4H, m), 7.40-7.72 (5H, m) ---------- 2 Isobutyryl 4-trifluoromethyl-2-methyl Phenyl 0.73 (3H, d J=7.3Hz) 1.00 () [-5-thiazolyl] 3H, d J=7.3Hz, 2.50 (1H, sep-tet J=7.3Hz) and 2.70 (3H, s), 7.23-7.57 (9H, m) ---------- 3 Hepta-noil 4-trifluoromethyl-2-methyl Phenyl 0.83 (3H, t J=7.3Hz), 1.09- -5-thiazolyl 1.38 (8H, m) 2.05-2.81 (2H, m) 2.68 (3H, s) 7.22-7.57 (9H, m) ---------- 4 Phenoxy acetyl 4-trifluoromethyl-2-methyl Phenyl 2.64 (3H, s) 4.76 () [1H,] [d J=4.3] -5-thiazolyl Hz, 4.79 (1H, d J=4.3Hz) 6.69 (2H, m) 7.20-7.34 (6H, m) 7.42-7.64 (6H, m) ---------- 5 N-phenyl 4-trifluoromethyl-2-methyl Phenyl 2.28 (3H, s) 6.51 (1H, bs) carbamoyl -5-thiazolyl 7.15 (2H, m), 7.34-7.54 (14H, m) ---------- ---------- [0060]
[Table 26]

The 9th table (continuation)
---------- A compound Number R1 R2 R3 NMR (CDCl3, delta value) ---------- 6 N-ethyl 4-trifluoromethyl-2-methyl Phenyl 1.21 (3H, t J=7.3Hz) 2.57 () [3H] Carbamoyl -5-thiazolyl s, 3.41 (2H, m), 7.13-7.18 () 2H, m, and 7.28-7.50 (7H, m) -- 8.46 (1H, bs) ---------- 7 Methyl 4-trifluoromethyl-2-methyl Phenyl 2.55 (3H, s), 3.25 (3H, s), and -5-thiazolyl 7.18-7.25 (2H, m), 7.31-7.48 (7H, m) ---------- 8 Methoxymethyl 4-trifluoromethyl-2-methyl Phenyl 3.47 (3H, s) 4.43 () [1H,] [d J=] -5-thiazolyl 10.1Hz, 5.54 () [1H, d,] [J=] 10.1Hz, 7.15-7.19 (3H, m), 7.26-7.50 (6H, m) ---------- 9 Methoxyethoxy 4-trifluoromethyl-2-methyl Phenyl 2.56 (3H, s), 3.37 (3H, s), 3.53 Methyl -5-thiazolyl 3.57 (2H, m) 3.77-3.95 (2H, m) 4.55 (1H, d J=10.3Hz) 5.65 () 1H, d J=10.3Hz, 7.32-7.44 (9H, m) ---------- [0061]
[Table 27]
The 9th table (continuation)
---------- A compound Number R1 R2 R3 NMR (CDCl3, delta value) ---------- 10 Acetyl 4-trifluoromethyl-2-methyl 2-methoxy 2.17 (3H, s), 2.44 (3H, s), 2.60 -5-thiazolyl (3H, s), and 3.94 (3H, s) and 7.16 (1H --) Imino ethyl d J=8.1Hz, 7.31-7.45 (2H, m) 7.53 (1H, d J=8.1Hz) ---------- 11 Acetyl 3-trifluoromethyl-1-methyl Phenyl 2.08 (3H, s) 3.92 (3H, s), 4-pyrazolyl 7.26-7.33 (4H, m), 7.42-7.70 (5H, m) ---------- 12 Propanoyl 4-trifluoromethyl-2-methyl Phenyl 0.73 (3H, t d J=7.3Hz) 2.10 -5-thiazolyl 2.35 (2H, m) and 2.68 (3H, s) 7.19-7.57 (9H, m) ---------- ---------- [0062] Example 1 of reference N-(2-isopropyl phenyl)-2-methyl-4-trifluoromethyl thiazole 5-carboxylic amide (contrast compound A, compound given in a publication-number -221,994 [No.] official report)
It completely carried out by the same approach except having used 2-isopropyl aniline as an aniline and having used the 2-methyl-4-trifluoro methylthiazole-5-carboxylic acid as a carboxylic acid in the example 1. The purpose compound with a melting point of 114-115 degrees C was obtained.
[0063] Example 2 of reference N-(2-isopropyl phenyl)-2-chloro nicotinamide (the contrast compound B, compound given in a publication-number -221,994 [No.] official report)
It completely carried out by the same approach except having used 2-isopropyl aniline as an aniline in the example 1. The purpose compound with a melting point of 123-124.5 degrees C was obtained.
[0064] The example of pharmaceutical preparation and the example of a physiology trial next the example of pharmaceutical preparation of the germicide for plantation arts concerning this invention, and the example of a trial are shown.
Example 1 of pharmaceutical preparation Grinding mixing of the compound 3 section of the powder-material compound number 1, the diatom earth 20 section, the clay 30 section, and the talc 47 section was carried out at homogeneity, and the powder-material 100 section was obtained.
[0065] Example 2 of pharmaceutical preparation Grinding mixing of the compound 25 section of the water-dispersible-powder compound number 1, the diatom earth 47 section, the clay 25 section, the ligninsulfonic acid sodium 1 section, and the alkyl-benzene-sodium-sulfonate 2 section was carried out at homogeneity, and the water-dispersible-powder 100 section was obtained.
[0066] Example 3 of pharmaceutical preparation The compound 50 section of the water-dispersible-powder compound number 1, the talc 40 section, the sodium laurylphosphate 5 section, and the alkyl naphthalene sulfonic-acid SATORIUMU 5 section were mixed, and the water-dispersible-powder 100 section was obtained.
[0067] Example 4 of pharmaceutical preparation Preferential grinding of the compound 50 section of the water-dispersible-powder compound number 2, the ligninsulfonic acid sodium 10 section, the alkyl naphthalene sulfonic-acid sodium 5 section, the white carbon 10 section, and the diatom earth 25 section was carried out, and the water-dispersible-powder 100 section was obtained.
[0068] Example 5 of pharmaceutical preparation Dissolution mixing of the compound 10 section of the emulsion compound number 2, the cyclohexane 10 section, the xylene 60 section, and the Sol Ball (Toho Chemical surfactant) 20 section was carried out at homogeneity, and the emulsion 100 section was obtained.

[0069] Example 6 of pharmaceutical preparation Wet grinding of the compound 40 section of the flowable agent compound number 2, the carboxymethyl-cellulose 3 section, the ligninsulfonic acid sodium 2 section, the dioctyl-sulfosuccinate-sodium-salt 1 section, and the water 54 section was carried out with the Sand grinder, and the flowable agent 100 sections were obtained.
[0070] Next, the example of a trial explains the effect as a germicide for plantation arts of this invention compound. In addition, in the example of a trial, the compound of the above-mentioned example of reference was used as a contrast agent.
Example 1 of a trial In the kidney bean gray mold disease prevention effectiveness trial greenhouse, the water dispersible powder adjusted to the vinyl pot with a diameter of 7.5cm at the kidney bean (carrying out [Form :] a New Zealand spinach top crop) which it grew two [at a time] according to the example 3 of pharmaceutical preparation to expansion of a cotyledon was diluted to predetermined concentration, and was sprinkled every 50ml per four pots. After the drug solution was air-dry, the spray inocuration of the conidium suspension (1x105 an individual/ml) prepared from the gray mold contagion cultivated on the PDA culture medium was carried out on the cotyledon, and it maintained at 20-23 degrees C and the greenhouse beyond humidity 95% for seven days. The area which the necrotic lesion of a gray mold disease occupies was investigated seven days after inoculation according to the following index to per kidney bean 1 leaf, and preventive value was computed by the following formula (several 1). A result is shown in the 10th table (Tables 28 and 29).
severity 0-:-pathopoiesis-less 1: -- the area of a necrotic lesion -- less than [5%] 2: -- the area of a necrotic lesion -- 5 - 25%3: -- the area of a necrotic lesion -- 25 - 50%4: -- [0071] to which the area of a necrotic lesion made severity the average of each processing division and a non-processed division 50% or more
[Equation 1] Preventive value (%) =(severity of severity / non-processed division of 1-processing division) x100[0072]
[Table 28]
第１０表

化合物番号	有効成分濃度（ｐｐｍ）	防除価（％）
本発明化合物 1	２００ ５０	１００ １００
本発明化合物 4	２００ ５０	１００ ３３
本発明化合物 7	２００ ５０	１００ ６７
本発明化合物 8	２００ ５０	１００ １００
本発明化合物 １０	２００ ５０	１００ ３３
本発明化合物 １１	２００ ５０	１００ １００

[0073]
[Table 29]
第１０表

化合物番号	有効成分濃度（ｐｐｍ）	防除価（％）
対照化合物 A	２００ ５０	９０ ６５
対照化合物 B	２００ ５０	２７ ０

[Translation done.]